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FILE 'HOME' ENTERED AT 11:15:10 ON 05 NOV 2008
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.63       0.63

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.63       0.63

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STRUCTURE FILE UPDATES:    3 NOV 2008  HIGHEST RN 1070514-14-5
DICTIONARY FILE UPDATES:   3 NOV 2008  HIGHEST RN 1070514-14-5

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-538144genA.str
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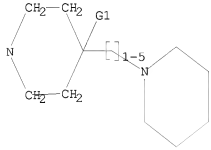
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 11:17:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 425844 TO ITERATE

100.0% PROCESSED 425844 ITERATIONS 1443 ANSWERS
SEARCH TIME: 00.00.13

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L2          1443 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                   180.20     180.83

FILE 'CAPLUS' ENTERED AT 11:18:35 ON 05 NOV 2008
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FILE COVERS 1907 - 5 Nov 2008  VOL 149 ISS 19
FILE LAST UPDATED: 4 Nov 2008  (20081104/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
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=> s l2
L3           55 L2

=> s l3 PD<20030100
MISSING OPERATOR L3 PD<20030100
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l3 /PD<20030100
FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a
field code.  You can only add a field code to a term that has no field
code appended to it.

=> s l3 PD<20030100 /PD
MISSING OPERATOR L3 PD<20030100
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> S PD<20030100
SYSTEM LIMITS EXCEEDED - SEARCH ENDED
The search profile you entered was too complex or gave too many
answers.  Simplify or subdivide the query and try again.  If you have
exceeded the answer limit, enter DELETE HISTORY at an arrow prompt
(=>) to remove all previous answers sets and begin at L1.  Use the
SAVE command to store any important profiles or answer sets before
using DELETE HISTORY.
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=> s l3 and PD<20030100
      23665875 PD<20030100
                  (PD<20030100)
L4           27 L3 AND PD<20030100

=> d l4 1-14 abs ibib hitstr

L4   ANSWER 1 OF 27  CAPLUS  COPYRIGHT 2008 ACS on STN
GI
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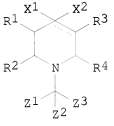
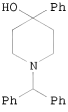


I



II

AB The title compds. [I; X1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; X2 = CHO, CN, (un)substituted NH2, etc.; or X1 = (un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1-R4 = H, alkyl; or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge; Z1 = (un)substituted alkyl, aryl, heteroaryl, etc.; Z2 = H, Z1; Z3 = H, alkyl; or Z1-Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] and their pharmaceutically acceptable salts, useful as ORL-1 receptor agonists for the treatment of cough, alone or in combination with one or more agents for the treatment of cough, allergy or asthma symptoms, were prepared and formulated. Thus, reacting 4-hydroxy-4-phenylpiperidine with α-bromodiphenylmethane in the presence of K2CO3 in CH3CN afforded 90% II which showed Ki of 13 nM against ORL-1 receptor binding.

ACCESSION NUMBER: 2001:78241 CAPLUS
DOCUMENT NUMBER: 134:131434
TITLE: Preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough
INVENTOR(S): Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; Mcleod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007050	A1	20010201	WO 2000-US1853	20000126 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6262066	B1	20010717	US 1999-359771	19990726	<--
CA 2379398	A1	20010201	CA 2000-2379398	20000126	<--
EP 1200087	A1	20020502	EP 2000-904560	20000126	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
BR 2000012801	A	20020507	BR 2000-12801	20000126	<--
JP 2003505420	T	20030212	JP 2001-511934	20000126	
HU 2002003458	A2	20030228	HU 2002-3458	20000126	
HU 2002003458	A3	20040128			
ZA 2002000275	A	20030411	ZA 2002-275	20020111	
NO 2002000392	A	20020325	NO 2002-392	20020125	<--
MX 2002PA01033	A	20020820	MX 2002-PA1033	20020128	<--
US 20040067950	A1	20040408	US 2003-464580	20030617	

PRIORITY APPLN. INFO.:

US 1998-94240P	P	19980727
US 1999-359771	A	19990726
US 2000-491780	A1	20000126
WO 2000-US1853	W	20000126

OTHER SOURCE(S): MARPAT 134:131434

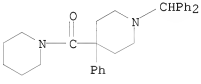
IT 256938-23-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)

RN 256938-23-5 CAPLUS

CN Piperidine, 1-[[1-(diphenylmethyl)-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to

the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

ACCESSION NUMBER: 2000:880962 CAPLUS
DOCUMENT NUMBER: 134:42445
TITLE: Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists
INVENTOR(S): Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000074679	A1	20001214	WO 2000-US14930	20000531	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2377369	A1	20001214	CA 2000-2377369	20000531	<--
EP 1187614	A1	20020320	EP 2000-937961	20000531	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003505435	T	20030212	JP 2001-512328	20000531	
AU 766191	B2	20031009	AU 2000-53068	20000531	
US 6350760	B1	20020226	US 2000-585111	20000601	<--
US 20020137664	A1	20020926	US 2001-990499	20011121	<--
AU 2003248456	A1	20031106	AU 2003-248456	20030929	

PRIORITY APPLN. INFO.:
US 1999-137477P P 19990604
US 1999-169209P P 19991202
WO 2000-US14930 W 20000531
US 2000-585111 A3 20000601

OTHER SOURCE(S): MARPAT 134:42445

IT 312637-59-5P 312638-17-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

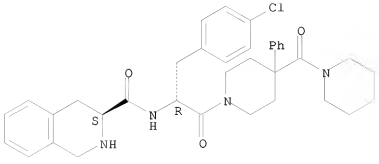
RN 312637-59-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]ethyl]-1,2,3,4-tetrahydro-, (3S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 312637-58-4

CMF C36 H41 Cl N4 O3

Absolute stereochemistry.



CM 2

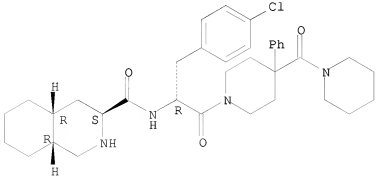
CRN 76-05-1
CMF C2 H F3 O2

RN 312638-17-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]ethyl]decahydro-, (3S,4aR,8aR)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 312638-16-7
CMF C36 H47 Cl N4 O3

Absolute stereochemistry.



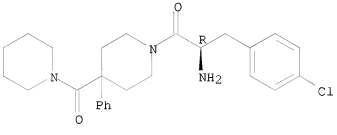
CM 2

CRN 76-05-1

CMF C2 H F3 O2

IT 312639-16-0P 312639-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)
RN 312639-16-0 CAPLUS
CN 1-Propanone, 2-amino-3-(4-chlorophenyl)-1-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

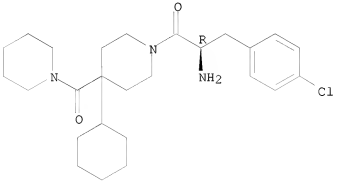
Absolute stereochemistry.



● HCl

RN 312639-32-0 CAPLUS
CN 1-Propanone, 2-amino-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

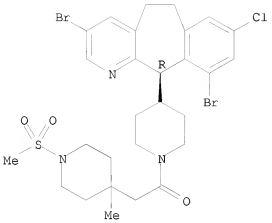
AB The title compds. of the formula I [A = N or NO- ; R1, R3 = halogen; R2, R4 = H or halogen, provided that at least one of R2 and R4 is H; each dotted line represents an optional bond; X = N, C when the optional bond to X is present, or CH when the optional bond to X is absent; T = a variety of substituted 3- or 4-piperidinyls, proviso is given] are prepared Also disclosed are methods of inhibiting farnesyl protein transferase and methods for treating tumor cells (data given). The title compound II demonstrated a FPT IC50 of 19 nM and a COS Cell IC50 of 22 nM.

ACCESSION NUMBER: 2000:254015 CAPLUS
DOCUMENT NUMBER: 132:279236
TITLE: Preparation of benzocycloheptapyridine compounds useful for inhibition of farnesyl protein transferase
INVENTOR(S): Taveras, Arthur G.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 31 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6051582	A	20000418	US 1998-94802		19980615 <--
PRIORITY APPLN. INFO.:			US 1997-49952P	P	19970617

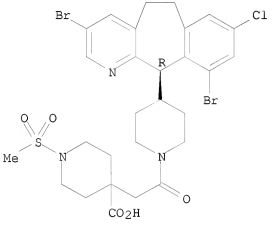
OTHER SOURCE(S): MARPAT 132:279236
IT 218780-46-2P 263709-22-4P 263709-23-5P
263709-24-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzocycloheptapyridine compds. useful for inhibition of farnesyl protein transferase)
RN 218780-46-2 CAPLUS
CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[4-methyl-1-(methylsulfonyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



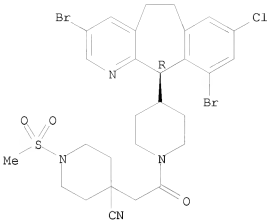
RN 263709-22-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



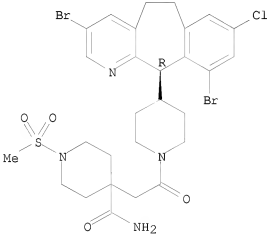
RN 263709-23-5 CAPLUS
CN 4-Piperidinecarbonitrile, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 263709-24-6 CAPLUS
CN 4-Piperidinecarboxamide, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

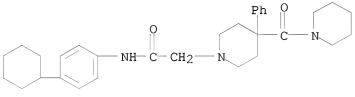
L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
AB Amide derivs. and methods of administering the compns. to mammals to treat disorders such as obesity that are mediated by NPY and especially those mediated
by NPY via the Y5 receptor.

ACCESSION NUMBER:	2000:238056 CAPLUS
DOCUMENT NUMBER:	132:274335
TITLE:	Amide derivatives, preparation, pharmaceutical compositions, and methods for using them as selective neuropeptide Y receptor antagonists
INVENTOR(S):	Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H.
PATENT ASSIGNEE(S):	Bayer Corporation, USA
SOURCE:	U.S., 25 pp. CODEN: USXXAM

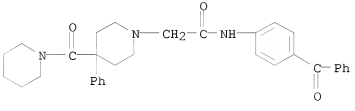
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6048900	A	20000411	US 1998-23498	19980213 <--
US 6410792	B1	20020625	US 1999-294961	19990420 <--
PRIORITY APPLN. INFO.:			US 1997-135105P	P 19970214
			US 1998-23498	A3 19980213

OTHER SOURCE(S): MARPAT 132:274335
IT 212052-84-1P 212052-85-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amide derivs. for neuropeptide Y receptor antagonists, preparation, and pharmaceutical compns.)
RN 212052-84-1 CAPLUS
CN 1-Piperidineacetamide, N-(4-cyclohexylphenyl)-4-phenyl-4-(1-piperidinylcarbonyl)- (CA INDEX NAME)



RN 212052-85-2 CAPLUS
CN 1-Piperidineacetamide, N-(4-benzoylphenyl)-4-phenyl-4-(1-piperidinylcarbonyl)- (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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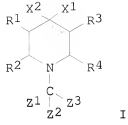

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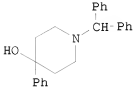

II

AB Compds. of formula I [wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl or heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl, or aryl; or X1 =(un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1, R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 1 to 3 carbon atoms; Z1 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H or Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] or pharmaceutically acceptable salt or solvate thereof useful as nociceptin receptor inhibitors for the treatment of pain, anxiety, cough, asthma, depression, and alc. abuse are disclosed. Compound II showed the Ki value of 13 nM in an in vitro test for ORL-1 receptor binding assay. Formulations are given.

ACCESSION NUMBER: 2000:98519 CAPLUS
DOCUMENT NUMBER: 132:137290
TITLE: Preparation of piperidine derivatives as high affinity ligands for nociceptin receptor ORL-1
INVENTOR(S): Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; McLeod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006545	A1	20000210	WO 1999-US14165	19990726 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE,

DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR,
KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT,
RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2338206	A1	20000210	CA 1999-2338206	19990726	<--
CA 2338206	C	20051220			
AU 9952056	A	20000221	AU 1999-52056	19990726	<--
AU 768607	B2	20031218			
BR 9912495	A	20010502	BR 1999-12495	19990726	<--
EP 1100781	A1	20010523	EP 1999-937174	19990726	<--
EP 1100781	B1	20040922			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO					
TR 200100241	T2	20010621	TR 2001-241	19990726	<--
HU 2001003840	A2	20020228	HU 2001-3840	19990726	<--
HU 2001003840	A3	20020328			
JP 2002521472	T	20020716	JP 2000-562351	19990726	<--
JP 3881516	B2	20070214			
TW 502021	B	20020911	TW 1999-88112624	19990726	<--
EP 1258244	A1	20021120	EP 2002-18161	19990726	<--
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NZ 509033	A	20031128	NZ 1999-509033	19990726	
RU 2237060	C2	20040927	RU 2001-105910	19990726	
AT 277013	T	20041015	AT 1999-937174	19990726	
PT 1100781	T	20041231	PT 1999-937174	19990726	
ES 2229750	T3	20050416	ES 1999-937174	19990726	
CN 1231467	C	20051214	CN 1999-809221	19990726	
PL 195633	B1	20071031	PL 1999-345671	19990726	
ZA 2001000150	A	20020107	ZA 2001-150	20010105	<--
IN 2001CN00085	A	20050304	IN 2001-CN85	20010118	
NO 2001000467	A	20010326	NO 2001-467	20010126	<--
NO 319772	B1	20050912			
MX 2001PA01025	A	20010629	MX 2001-PA1025	20010126	<--
HK 1034070	A1	20050401	HK 2001-103629	20010525	

PRIORITY APPLN. INFO.:

US 1998-122878	A	19980727
EP 1999-937174	A3	19990726
WO 1999-US14165	W	19990726

OTHER SOURCE(S): MARPAT 132:137290

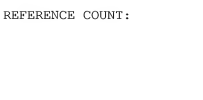
IT 256938-23-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as high affinity ligands for nociceptin receptor ORL-1)

RN 256938-23-5 CAPLUS

CN Piperidine, 1-[[1-(diphenylmethyl)-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

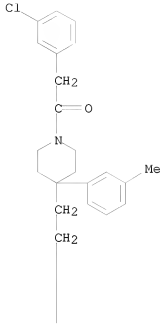
AB The analgesic effectiveness of a substance P receptor antagonist is significantly potentiated by administering a substance P receptor antagonist with a nontoxic NMDA receptor antagonist and/or a nontoxic substance that blocks at least one major intracellular consequence of NMDA receptor activation.

ACCESSION NUMBER: 1999:126827 CAPLUS
DOCUMENT NUMBER: 130:191898
TITLE: Substance P inhibitors in combination with NMDA blockers for treating pain
INVENTOR(S): Caruso, Frank S.
PATENT ASSIGNEE(S): Algos Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

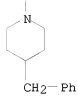
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907413	A1	19990218	WO 1998-US10707	19980526 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9876960	A	19990301	AU 1998-76960	19980526 <--
PRIORITY APPLN. INFO.:			US 1997-55233P P	19970811
			WO 1998-US10707 W	19980526

IT 146366-53-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substance P inhibitor-NMDA blocker combination for treating pain)
RN 146366-53-2 CAPLUS
CN Ethanone, 2-(3-chlorophenyl)-1-[4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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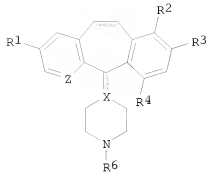

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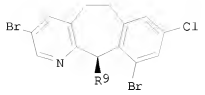


II

AB Title compds. [I; R1,R3 = halo; 1 of R2,R4 = H and the other = H or halo; R6 = C(:Z1)CH2CR5R7(CH2)nNRR8; R = (ar)alkyl, (hetero)aryl, acyl, etc.; R5 = (ar)alkyl, (hetero)aryl, alkoxy, NH2, etc.; R7R8 = CH2CH2 and n = 2 or R7R8 = (CH2)3 and n = 1; X = N or CH; X = C when adjacent dashed line = addnl. bond; Z = N or oxide thereof; Z1 = O or S; dashed lines = optional addnl. bonds] were prepared Thus, title compound II (R9 = 4-piperidinyl) was amidated by 4-methyl-1-methylsulfonylpiperidine-4-acetic acid (preparation each given) to give II [R9 = 1-(4-methyl-1-methylsulfonylpiperidine-4-acetyl)-4-piperidinyl]. Data for biol. activity of the prepared I were given.

ACCESSION NUMBER: 1999:9843 CAPLUS
DOCUMENT NUMBER: 130:81413
TITLE: Preparation of benzocycloheptapyridines as farnesyl protein transferase inhibitors
INVENTOR(S): Taveras, Arthur G.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857962	A1	19981223	WO 1998-US11498	19980615 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2293372	A1	19981223	CA 1998-2293372	19980615 <--
AU 9878153	A	19990104	AU 1998-78153	19980615 <--
AU 753533	B2	20021017		
EP 993460	A1	20000419	EP 1998-926278	19980615 <--
EP 993460	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
HU 2000004806	A2	20011028	HU 2000-4806	19980615 <--
NZ 501615	A	20020201	NZ 1998-501615	19980615 <--
JP 2002504147	T	20020205	JP 1999-504493	19980615 <--
AT 276247	T	20041015	AT 1998-926278	19980615
ES 2226142	T3	20050316	ES 1998-926278	19980615
MX 9912087	A	20000430	MX 1999-12087	19991217 <--
HK 1028238	A1	20050513	HK 2000-106503	20001012

PRIORITY APPLN. INFO.: US 1997-877673 A 19970617
WO 1998-US11498 W 19980615

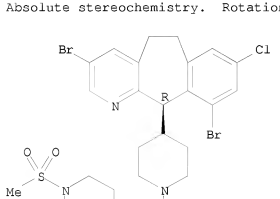
OTHER SOURCE(S): MARPAT 130:81413

IT 218780-46-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzocycloheptapyridines as farnesyl protein transferase inhibitors)

RN 218780-46-2 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[[4-methyl-1-(methylsulfonyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN

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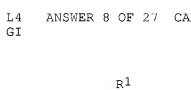


I

AB Title compds. [I; R = G2(CH2)nR2; G1,G2 = CH2 or CO; R1 = (un)substituted Ph, -naphthyl, pyridyl, etc.; R2 = (un)substituted Ph or -pyridyl; Y1 = CONHR5 or CONR6R7; R5 = H, alkyl, (CH2)qNR6R7, etc.; R6,R7 = alkyl; NR6R7 = heterocyclyl; Y2 = (un)substituted phenyl(methyl), -pyridyl, -thienyl; Y1Y2 = atoms to complete a ring; Z = (CH2)2-3; n = 0 or 1; q = 2 or 3] were prepared Thus, 3,4-Cl2C6H3CH2CN was biscondensed with BrCH2CO2Et and the reduced product cyclized to give, after reduction and N-benzoylation, 1-benzoyl-3-(2-hydroxyethyl)-3-(3,4-dichlorophenyl)pyrrolidine. The latter was treated with MeSO2Cl and the product aminated by 4-phenylpiperidine-4-carboxamide (preparation given) to give I (G1 = CH2, R = Bz, R1 = C6H3Cl2-3,4, Y1 = CONH2, Y2 = Ph, Z = CH2CH2). Data for biol. activity of I were given.

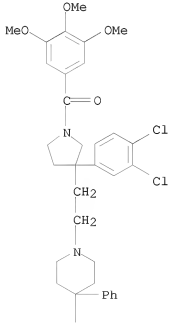
ACCESSION NUMBER: 1998:689192 CAPLUS

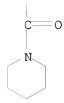
DOCUMENT NUMBER: 129:330656
ORIGINAL REFERENCE NO.: 129:67439a,67442a
TITLE: Preparation of 1-(3-pyrrolidinylalkyl)-4-piperidinecarboxamides as tachykinin antagonists
INVENTOR(S): Burkholder, Timothy P.; Kudlacz, Elizabeth M.; Le Tieu-bihn; Maynard, George D.
PATENT ASSIGNEE(S): Hoechst Marion Roussel Inc., USA
SOURCE: U.S., 93 pp., Cont.-in-part of U.S. 5,635,510. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5824690	A	19981020	US 1997-798664	19970211 <--
ZA 9403091	A	19950112	ZA 1994-3091	19940504 <--
US 5635510	A	19970603	US 1994-332027	19941031 <--
PRIORITY APPLN. INFO.:			US 1993-58606	B2 19930506
			US 1994-225371	B2 19940419
			US 1994-332027	A2 19941031

OTHER SOURCE(S): MARPAT 129:330656
IT 192069-46-8P 214845-11-1P 214845-13-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(3-pyrrolidinylalkyl)-4-piperidinecarboxamides as tachykinin antagonists)
RN 192069-46-8 CAPLUS
CN Piperidine, 1-[[1-[2-[3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

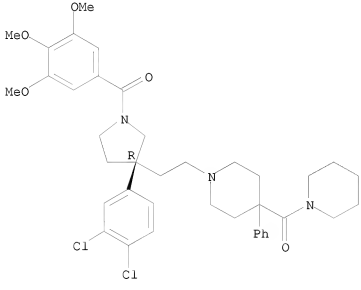
PAGE 1-A





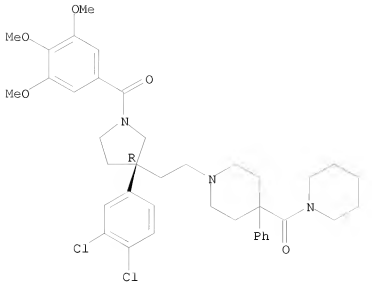
RN 214845-11-1 CAPLUS
CN Piperidine, 1-[[1-[2-[(3R)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



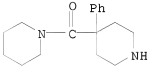
RN 214845-13-3 CAPLUS
CN Piperidine, 1-[[1-[2-[(3R)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

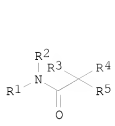
IT 83863-47-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-(3-pyrrolidinylalkyl)-4-piperidinecarboxamides as tachykinin antagonists)
RN 83863-47-2 CAPLUS
CN Piperidine, 1-[(4-phenyl-4-piperidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI



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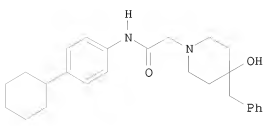


II

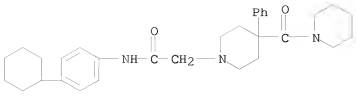
AB The title compds. [I; R1-R5 = H, halo, OH, etc.], which exhibit selective neuropeptide Y receptor antagonistic activity and therefore are useful in the treatment of obesity and eating disorders such as bulimia, were prepared Thus, reaction of N-(4-cyclohexylphenyl)-2-bromoacetamide with 4-benzyl-4-hydroxypiperidine in the presence of K2CO3 in DMSO afforded the title compound II which showed IC50 of 0.15 μM against hNPY5.

ACCESSION NUMBER: 1998:568820 CAPLUS
DOCUMENT NUMBER: 129:202941
ORIGINAL REFERENCE NO.: 129:41223a,41226a
TITLE: Preparation of amide derivatives as selective neuropeptide Y receptor antagonists
INVENTOR(S): Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

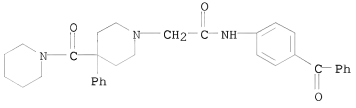
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835957	A1	19980820	WO 1998-US2121	19980205 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251368	A1	19980820	CA 1998-2251368	19980205 <--
AU 9861440	A	19980908	AU 1998-61440	19980205 <--
EP 910565	A1	19990428	EP 1998-906127	19980205 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000510164	T	20000808	JP 1998-535802	19980205 <--

PRIORITY APPLN. INFO.: US 1997-800482 A 19970214
WO 1998-US2121 W 19980205

OTHER SOURCE(S): MARPAT 129:202941
IT 212052-84-1P 212052-85-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as selective neuropeptide Y receptor antagonists)
RN 212052-84-1 CAPLUS
CN 1-Piperidineacetamide, N-(4-cyclohexylphenyl)-4-phenyl-4-(1-piperidinylcarbonyl)- (CA INDEX NAME)



RN 212052-85-2 CAPLUS
CN 1-Piperidineacetamide, N-(4-benzoylphenyl)-4-phenyl-4-(1-piperidinylcarbonyl)- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel carboxy-substituted cyclic carboxamide derivs. I and stereoisomers and pharmaceutically acceptable salts thereof [wherein either G1 or G2 = CH2, while other = CO; m = 2 or 3; n = 0 or 1; R1 = 1-3 of H, halo, CF3, alkyl, alkoxy; R2 = 1-3 of H, halo, cyano, CF3, alkyl, alkoxy; R3 = 1-tetrazolyl or its 5-alkyl or 5-CF3 derivs., 1,2,4-triazol-4-yl, 1H-tetrazol-5-yl; Ar = (un)substituted Ph or pyridyl; A = carboxy- or carboxy-derivative-substituted pyrrolidino, piperazino, morpholino, thiomorpholino or oxides, or piperidino]. As tachykinin receptor antagonists, the compds. are useful in the treatment of tachykinin-mediated diseases and conditions, including particularly asthma, cough, and bronchitis. For instance, (S)-3-(3,4,5-trimethoxybenzoyl)-3-(3,4-dichlorophenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidine was condensed with 4-phenyl-4-[[(S)-2-carbomethoxypyrrolidin-1-yl]carboxamido]piperidine hydriodide to give title compound II. The latter bound to NK1 and NK2 receptors in vitro with IC50 values of 4.32 nM and 4.51 nM, resp.

ACCESSION NUMBER: 1998:424245 CAPLUS
DOCUMENT NUMBER: 129:95498
ORIGINAL REFERENCE NO.: 129:19699a,19702a
TITLE: Novel heterocyclic carboxy-substituted cyclic carboxamide derivatives useful as tachykinin receptor antagonists
INVENTOR(S): Burkholder, Timothy P.; Maynard, George D.; Kudlacz, Elisabeth M.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9827085	A1	19980625	WO 1997-US21586	19971121	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5977139	A	19991102	US 1997-971891	19971117	<--
CA 2275602	A1	19980625	CA 1997-2275602	19971121	<--
CA 2275602	C	20030722			
AU 9853627	A	19980715	AU 1998-53627	19971121	<--
AU 718984	B2	20000504			
EP 946545	A1	19991006	EP 1997-950690	19971121	<--
EP 946545	B1	20010905			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
CN 1240443	A	20000105	CN 1997-180774	19971121	<--
CN 1098259	C	20030108			
BR 9714156	A	20000208	BR 1997-14156	19971121	<--
HU 9903702	A2	20000528	HU 1999-3702	19971121	<--
HU 9903702	A3	20020128			
NZ 335883	A	20010727	NZ 1997-335883	19971121	<--
AT 205200	T	20010915	AT 1997-950690	19971121	<--
ES 2162686	T3	20020101	ES 1997-950690	19971121	<--
PT 946545	T	20020228	PT 1997-950690	19971121	<--
JP 2002512596	T	20020423	JP 1998-527720	19971121	<--
RU 2199535	C2	20030227	RU 1999-115883	19971121	
EE 4117	B1	20030815	EE 1999-254	19971121	
PL 189777	B1	20050930	PL 1997-334077	19971121	
ZA 9711264	A	19980623	ZA 1997-11264	19971215	<--
TW 544452	B	20030801	TW 1997-86119362	19971219	
NO 9903012	A	19990818	NO 1999-3012	19990618	<--
NO 318196	B1	20050214			
KR 2000057667	A	20000925	KR 1999-705495	19990618	<--
HK 1020571	A1	20020517	HK 1999-105551	19991130	<--

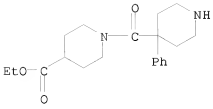
PRIORITY APPLN. INFO.:			
	US 1996-794157	A	19961219
	US 1997-971891	A	19971117
	WO 1997-US21586	W	19971121

OTHER SOURCE(S): MARPAT 129:95498

IT 209667-57-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic carboxy-substituted cyclic carboxamide derivs. as tachykinin receptor antagonists)

RN 209667-57-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(4-phenyl-4-piperidinyl)carbonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



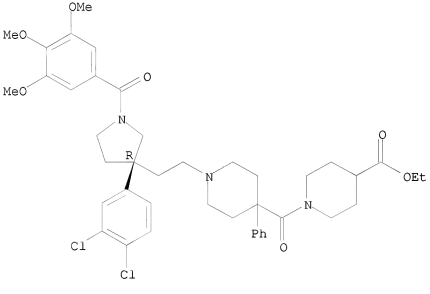
● HCl

IT 209666-42-2P 209666-43-3P 209666-44-4P
209666-45-5P 209667-74-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic carboxy-substituted cyclic carboxamide derivs. as tachykinin receptor antagonists)

RN 209666-42-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[1-[2-[(3R)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

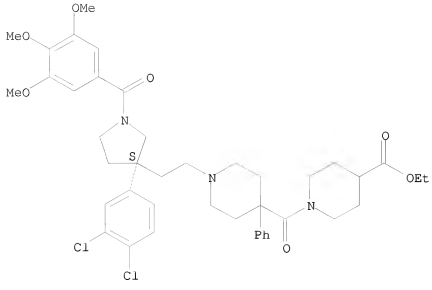
Absolute stereochemistry.



RN 209666-43-3 CAPLUS

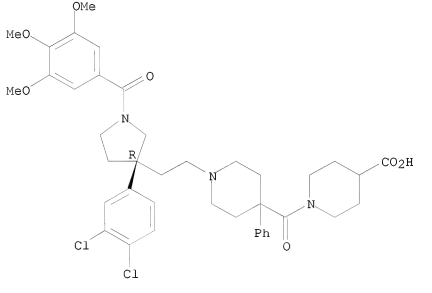
CN 4-Piperidinecarboxylic acid, 1-[[1-[2-[(3S)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



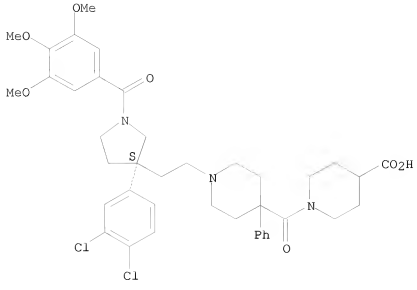
RN 209666-44-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[1-[2-[(3R)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 209666-45-5 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[1-[2-[(3S)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (CA INDEX NAME)

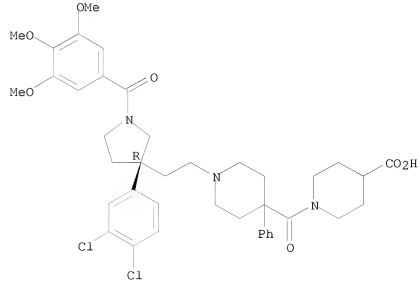
Absolute stereochemistry.



RN 209667-74-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[1-[2-[(3R)-3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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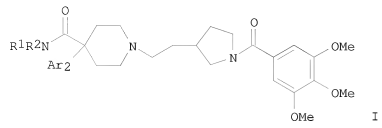

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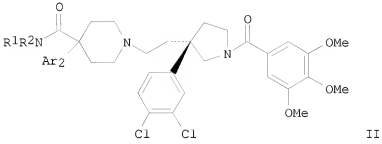


II

AB The authors recently described the synthesis and characterization of MDL 105,212, a non peptide tachykinin antagonist with high affinity for NK1 and NK2 receptors. Here, the authors report the synthesis and structure-activity relationships for a series of analogs of MDL 105,212, I (Ar1 = 3-ClC6H4, 4-FC6H4, 3-pyridyl, etc., Ar2 = Ph, 3-MeOC6H4, 4-FC6H4, 3-, 4-pyridyl, R1R2N, = H2N, piperidino, morpholino, 4-methylpiperidino) and II (Ar2 = Ph, 3-, 4-pyridyl, R1R2N = H2N, morpholino, 4-methylpiperidino), with regards to NK1 and NK2 receptor binding affinity, phys.-chemical characterization; in vitro absorption potential; in vitro metabolic stability; and efficacy in a capsaicin-challenge conscious guinea pig model after oral administration.

ACCESSION NUMBER: 1997:723316 CAPLUS
DOCUMENT NUMBER: 128:34664
ORIGINAL REFERENCE NO.: 128:6829a,6832a
TITLE: Synthesis and structure-activity relationships for a series of substituted pyrrolidine NK1/NK2 receptor antagonists
AUTHOR(S): Burkholder, Timothy P.; Kudlacz, Elizabeth M.; Maynard, George D.; Liu, Xiao-Gao; Le, Tieu-Binh; Webster, Mark E.; Horgan, Stephen W.; Wenstrup, David L.; Freund, David W.; Boyer, Fred; Bratton, Larry; Gross, Raymond S.; Knippenberg, Robert W.; Logan, Deborah E.; Jones, Bryan K.; Chen, Teng-Man; Geary, Julie L.; Correll, Melinda A.; Poole, J. Chuck; Mandagere, Arun K.; Thompson, Thomas N.; Hwang, Kin-Kai
CORPORATE SOURCE: Hoechst Marion Roussel, Cincinnati, OH, 45215, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(19), 2531-2536
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

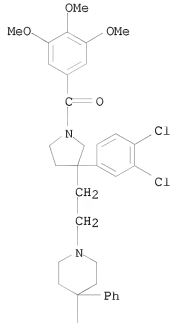
IT 199439-81-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure activity relationship of pyrrolidines as neurokinin receptor antagonists)

RN 199439-81-1 CAPLUS

CN Piperidine, 1-[[1-[2-[3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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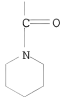


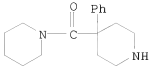
● HCl

IT 83863-47-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and structure activity relationship of pyrrolidines as neurokinin receptor antagonists)

RN 83863-47-2 CAPLUS

CN Piperidine, 1-[(4-phenyl-4-piperidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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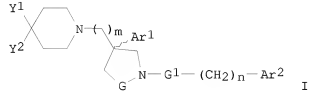

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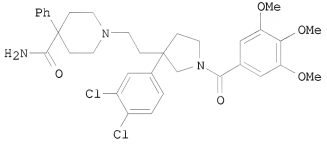


II

AB The invention relates to substituted pyrrolidinyl-3-yl-alkyl-piperidines I [G, G1 = CH2, CO; m = 2, 3; n = 0, 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl, or benzo[1,3]dioxan-5-yl; Ar2 = (un)substituted Ph or pyridyl; Y1 = (un)substituted CONH2; Y2 = (un)substituted Ph, naphthyl, pyridyl, thienyl, or CH2Ph; or Y1Y2 = atoms to complete certain Ph-substituted, 5-membered, diazaspiro ring fusions], their stereoisomers, N-oxides, and pharmaceutically acceptable salts, and processes for preparation of the same. I are useful for their pharmacol. activities, such as tachykinin antagonism, and especially substance P and neurokinin A antagonism. Such compds. are indicated for conditions associated with neurogenic inflammation and other diseases. For instance, 3-(3,4-dichlorophenyl)-3-(2-hydroxyethyl)pyrrolidine underwent a sequence of amidation with 3,4,5-trimethoxybenzoyl chloride (71%), conversion of the alc. to a methanesulfonate ester (92%), and reaction of the mesylate moiety with 4-phenylpiperidine-4-carboxamide-HCl (71%), to give title compound II. In an assay for modulation of NKA-induced respiratory effects in guinea pigs, II at 10 mg/kg reduced dyspnea to 60% of control.

ACCESSION NUMBER: 1997:375289 CAPLUS
DOCUMENT NUMBER: 127:95200
ORIGINAL REFERENCE NO.: 127:18329a,18332a

TITLE: Substituted pyrrolidin-3-yl-alkyl-piperidines useful as tachykinin antagonists

INVENTOR(S): Burkholder, Timothy P.; Kudlacz, Elizabeth M.; Maynard, George D.

PATENT ASSIGNEE(S): Merrell Pharmaceuticals Inc., USA

SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 225,371, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5635510	A	19970603	US 1994-332027	19941031 <--
CN 1124961	A	19960619	CN 1994-192362	19940422 <--
CN 1081635	C	20020327		
ZA 9403091	A	19950112	ZA 1994-3091	19940504 <--
US 5648366	A	19970715	US 1995-477167	19950607 <--
US 5861416	A	19990119	US 1997-795576	19970206 <--
US 5824690	A	19981020	US 1997-798664	19970211 <--

PRIORITY APPLN. INFO.: US 1993-58606 B2 19930506
US 1994-225371 B2 19940419
US 1994-332027 A3 19941031

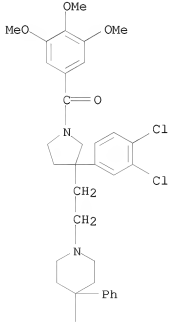
OTHER SOURCE(S): MARPAT 127:95200

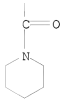
IT 192069-46-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinylalkylpiperidines as tachykinin antagonists)

RN 192069-46-8 CAPLUS

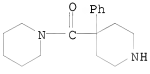
CN Piperidine, 1-[[1-[2-[3-(3,4-dichlorophenyl)-1-(3,4,5-trimethoxybenzoyl)-3-pyrrolidinyl]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





IT 83863-47-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyrrolidinylalkylpiperidines as tachykinin antagonists)
RN 83863-47-2 CAPLUS
CN Piperidine, 1-[(4-phenyl-4-piperidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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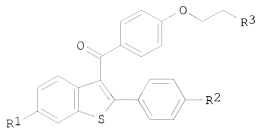

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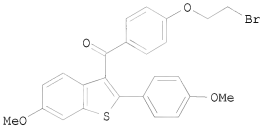

II

AB The title compds. [I; R1, R2 = H, OH, alkoxy, etc.; R3 = (substituted) pyrrolidino, piperidino, piperazino, etc.], useful in alleviating the symptoms of post-menopausal syndrome related to osteoporosis, cardiovascular disease, hyperlipidemia, estrogen-dependent cancer, and in alleviating the symptoms of uterine fibroid disease, endometriosis, aortal smooth muscle cell proliferation, and restenosis, were prepared and formulated. Thus, reaction of bromide II with 3-phenylpyrrolidine in DMF followed by demethylation with EtSH/AlCl3 in CH2Cl2 afforded I [R1, R2 = H; R3 = 3-Ph-pyrrolidin-1-yl] which reduced 63.4% serum cholesterol at 10 mg/kg.

ACCESSION NUMBER: 1996:740256 CAPLUS
DOCUMENT NUMBER: 126:7985
ORIGINAL REFERENCE NO.: 126:1775a,1778a
TITLE: Preparation of 3-[4-(2-heterocyclylethoxy)benzoyl-2-phenylbenzothiophenes for use in alleviating the symptoms of post-menopausal syndrome
INVENTOR(S): Dodge, Jeffrey Alan; Jones, Charles David; Bourgeois, Tokarz Michelle Lee
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738725	A2	19961023	EP 1996-302713	19960418 <--
EP 738725	A3	19970305		
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US 6608090	B1	20030819	US 1995-426552	19950421
CA 2215902	A1	19961024	CA 1996-2215902	19960418 <--
WO 9632937	A1	19961024	WO 1996-US5382	19960418 <--
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NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US, UZ
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9655549	A	19961107	AU 1996-55549		19960418 <--
JP 11504013	T	19990406	JP 1996-531911		19960418 <--
PRIORITY APPLN. INFO.:			US 1995-426339	A	19950421
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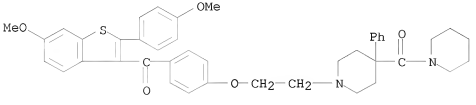
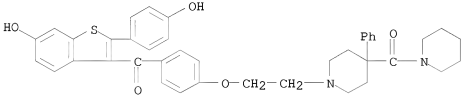
			WO 1996-US5382	W	19960418

OTHER SOURCE(S): MARPAT 126:7985
IT 184091-15-4P 184091-16-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[4-(2-heterocyclylethoxy)benzoyl-2-phenylbenzothiophenes for use in alleviating the symptoms of post-menopausal syndrome)
RN 184091-15-4 CAPLUS
CN Piperidine, 1-[[1-[2-[4-[[6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl]carbonyl]phenoxy]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 184091-16-5 CAPLUS
CN Piperidine, 1-[[1-[2-[4-[[6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl]carbonyl]phenoxy]ethyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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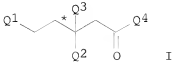

I

AB The title compds. (I; Q1-Q4 have the meanings given in the claims; * = an optionally asym. center) [e.g., N-benzyl-5-(4-hydroxy-4-phenylpiperidino)-3-(3,4-dichlorophenyl)pentamide; m.p. 64-67°] are nonpeptide antagonists of substance P and NKA (e.g., neurokinin NK1 and NK2

receptors), useful for the treatment of asthma (no data), etc. (no data), are prepared

ACCESSION NUMBER: 1996:609954 CAPLUS
DOCUMENT NUMBER: 125:247623
ORIGINAL REFERENCE NO.: 125:46285a
TITLE: Preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists
INVENTOR(S): Bernstein, Peter Robert; Dembofsky, Bruce Thomas; Jacobs, Robert Toms
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9624582	A1	19960815	WO 1996-GB259	19960208	<--
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN					
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EP 808303	A1	19971126	EP 1996-901904	19960208	<--
EP 808303	B1	20010620			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
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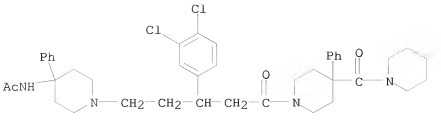
JP 10513191	T	19981215	JP 1996-524072	19960208	<--
AT 202342	T	20010715	AT 1996-901904	19960208	<--
ES 2159717	T3	20011016	ES 1996-901904	19960208	<--
PT 808303	T	20011130	PT 1996-901904	19960208	<--
ZA 9601069	A	19960812	ZA 1996-1069	19960209	<--
IN 1996DE00268	A	20050311	IN 1996-DE268	19960209	
FI 9703283	A	19971007	FI 1997-3283	19970808	<--
NO 9703652	A	19971008	NO 1997-3652	19970808	<--
GR 3036639	T3	20011231	GR 2001-401497	20010918	<--
JP 2008138007	A	20080619	JP 2007-341959	20071226	

PRIORITY APPLN. INFO.:
GB 1995-2644 A 19950210
JP 1996-524072 A3 19960208
WO 1996-GB259 W 19960208

OTHER SOURCE(S): MARPAT 125:247623

IT 181878-90-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists)

RN 181878-90-0 CAPLUS
CN Acetamide, N-[1-[3-(3,4-dichlorophenyl)-5-oxo-5-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]pentyl]-4-phenyl-4-piperidinyl]- (CA INDEX NAME)



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NEWS 3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5	JUL 28	STN Viewer performance improved
NEWS 6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
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NEWS 9	AUG 15	CAplus currency for Korean patents enhanced
NEWS 10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
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NEWS 13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14	SEP 29	IFICLS enhanced with new super search field
NEWS 15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS 19	OCT 22	Current-awareness alert (SDI) setup and editing

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                enhanced
 NEWS 20  OCT 22  WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                  Applications
 NEWS 21  OCT 24  CHEMLIST enhanced with intermediate list of
                  pre-registered REACH substances

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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DICTIONARY FILE UPDATES:   4 NOV 2008  HIGHEST RN 1070859-34-5

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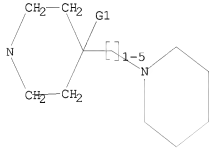

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FILE COVERS 1907 - 5 Nov 2008  VOL 149 ISS 19
FILE LAST UPDATED: 4 Nov 2008  (20081104/ED)

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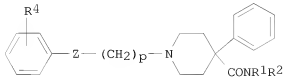

I

AB The title compds. [I; Z = (CH2)mCHOR3 (taken from the left to the right direction in the Markush formula), CO; wherein m = 0,1; R3 = H, COMe; R1 = H, C1-3 alkyl; R2 = C1-3 alkyl; or R1R2 = (CH2)n (wherein n = 3,4,5) or CH2CH2OCH2CH2; R4 = Me, OH, OMe; provided that when Z = CO, p = 2], useful

as analgesics and local anesthetics (no data), are prepared Thus, a mixture of 4-phenylpiperidine-4-carbonitrile hydrochloride, formaldehyde, acetophenone, and 35% HCl, and EtOH was refluxed for 48 h to give 1-(3-oxo-3-phenylpropyl)-4-phenylpiperidine-4-carbonitrile which was reduced by NaBH4 in MeOH at 50° for 15 h to give 1-(3-hydroxy-3-phenylpropyl)-4-phenylpiperidine-4-carbonitrile. The latter nitrile was heated with KOH in aqueous EtOH in an autoclave at 140° for 6 h and acidified with HCl to pH 2 to give, after acetylation with Ac2O in the presence of 4-dimethylaminopyridine, 1-(3-acetoxy-3-phenylpropyl)-4-phenylpiperidine-4-carboxylic acid. This was treated with oxalyl chloride in CH2Cl2 at 50° for 2 h to give an acid chloride which was amidated with amines to give amides, e.g. I (Z = CHOH, p = 2, R1 = R4 = H, R2 = n-Pr).

ACCESSION NUMBER: 1995:960224 CAPLUS
DOCUMENT NUMBER: 124:8635
ORIGINAL REFERENCE NO.: 124:1825a,1828a
TITLE: Preparation of 4-phenyl-4-carbamoylpiperidine derivatives with analgesic and local anesthetic effect
INVENTOR(S): Ask, Anna-Lena; Olsson, Lars-Inge; Sandberg, Rune
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9521821	A1	19950817	WO 1995-SE106	19950203	<--
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RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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ZA 9500709	A	19950811	ZA 1995-709	19950130	<--
CA 2181068	A1	19950817	CA 1995-2181068	19950203	<--
AU 9518269	A	19950829	AU 1995-18269	19950203	<--
AU 686760	B2	19980212			
EP 743940	A1	19961127	EP 1995-910029	19950203	<--
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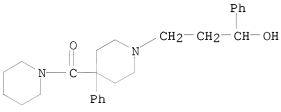
CN 1067989	C	20010704			
HU 75641	A2	19970528	HU 1996-2206	19950203	<--
HU 216734	B	19990830			
JP 09508904	T	19970909	JP 1995-521149	19950203	<--
BR 9506748	A	19970916	BR 1995-6748	19950203	<--
EE 3252	B1	20000215	EE 1996-70	19950203	<--
RU 2145599	C1	20000220	RU 1996-117979	19950203	<--
AT 192435	T	20000515	AT 1995-910029	19950203	<--
CZ 286753	B6	20000614	CZ 1996-2032	19950203	<--
PT 743940	T	20000831	PT 1995-910029	19950203	<--
ES 2148493	T3	20001016	ES 1995-910029	19950203	<--
PL 180212	B1	20010131	PL 1995-315777	19950203	<--
SK 281931	B6	20010911	SK 1996-928	19950203	<--
IN 1995DE00190	A	20050311	IN 1995-DE190	19950209	
US 5756520	A	19980526	US 1995-403767	19950324	<--
HR 950414	B1	20010228	HR 1995-414	19950719	<--

NO 9603292 A 19960807 NO 1996-3292 19960807 <--
FI 9603128 A 19960809 FI 1996-3128 19960809 <--
US 5968953 A 19991019 US 1998-64187 19980422 <--
GR 3033999 T3 20001130 GR 2000-401685 20000721 <--
PRIORITY APPLN. INFO.: SE 1994-447 A 19940211
WO 1995-SE106 W 19950203

OTHER SOURCE(S): MARPAT 124:8635
IT 171057-65-1P 171057-66-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylcarbamoylpiperidine derivs. as analgesics and local anesthetics)
RN 171057-65-1 CAPLUS
CN Piperidine, 1-[[1-(3-hydroxy-3-phenylpropyl)-4-phenyl-4-piperidinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171057-66-2 CAPLUS
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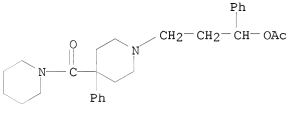

● HCl

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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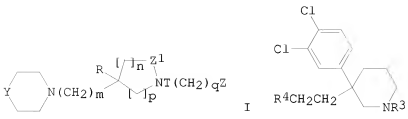


I II

AB Title compds. [I; R = Ph, (benzo)thienyl, naphthyl, indolyl, etc.; T, Z1 = CO, CH2; Y = NR1, CX(CH2)xR2; R1 = Ph, PhCH2, cycloalkyl(methyl), pyridyl(methyl), etc.; R2 = Ph, pyridyl, thienyl; X = H, OH, alkoxy, acyloxy, CO2H, etc.; Z = Ph, naphthyl, pyridyl, thienyl, etc.; n, q = 0-3; p = 1, 2; x = 0, 1] were prepared Thus, 3,4-Cl2C6H3CH2CN was condensed with 2-(2-bromoethoxy)tetrahydropyran and the product condensed with BrCH2CH2CO2Et to give, after cyclization and reduction, piperidine II (R3 = H, R4 = tetrahydropyranyloxy) which was N-acetylated with PhCH2CO2H and the product converted to II (R3 = COCH2Ph) (III; R4 = OSO2Me). The latter was condensed with 4-benzylpiperidine to give III (R4 = 4-benzylpiperidino) which had Ki of 8.3 nM for antagonism of substance P binding in vitro.

ACCESSION NUMBER: 1993:124405 CAPLUS
DOCUMENT NUMBER: 118:124405
ORIGINAL REFERENCE NO.: 118:21561a,21564a
TITLE: Preparation of 1-aralk(ano)yl-3-aryl-3-(piperidinoalkyl)piperidines and analogs as substance P and neurokinin antagonists
INVENTOR(S): Goulaouic, Pierre; Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo
PATENT ASSIGNEE(S): Elf Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512901	A1	19921111	EP 1992-401235	19920430 <--
EP 512901	B1	19990623		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
FR 2676055	A1	19921106	FR 1991-5487	19910503 <--
FR 2676055	B1	19930903		
NO 9201734	A	19921104	NO 1992-1734	19920430 <--
NO 178573	B	19960115		
NO 178573	C	19960424		
ZA 9203178	A	19930127	ZA 1992-3178	19920430 <--
HU 61539	A2	19930128	HU 1992-1458	19920430 <--
HU 220598	B1	20020328		
RU 2083574	C1	19970710	RU 1992-5011707	19920430 <--
FI 101299	B	19980529	FI 1992-1951	19920430 <--
FI 101299	B1	19980529		
AT 181550	T	19990715	AT 1992-401235	19920430 <--
CZ 285409	B6	19990811	CZ 1992-1329	19920430 <--
ES 2137176	T3	19991216	ES 1992-401235	19920430 <--
CA 2067877	A1	19921104	CA 1992-2067877	19920501 <--
CA 2067877	C	20020212		
AU 9215916	A	19921105	AU 1992-15916	19920501 <--
AU 652046	B2	19940811		

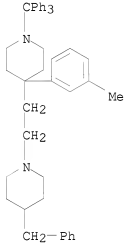
IL 101760	A	19970218	IL 1992-101760		19920501	<--
IL 117921	A	19970218	IL 1992-117921		19920501	<--
BR 9201656	A	19921215	BR 1992-1656		19920504	<--
US 5340822	A	19940823	US 1992-878710		19920504	<--
JP 05186425	A	19930727	JP 1992-113820		19920506	<--
JP 3242980	B2	20011225				
US 5770735	A	19980623	US 1994-261269		19940615	<--
FI 9501242	A	19950316	FI 1995-1242		19950316	<--
FI 101298	B	19980529				
FI 101298	B1	19980529				
FI 9501243	A	19950316	FI 1995-1243		19950316	<--
FI 114635	B1	20041130				
US 5625060	A	19970429	US 1995-463270		19950605	<--
HK 1005138	A1	20000512	HK 1998-104344		19980519	<--
PRIORITY APPLN. INFO.:			FR 1991-5487	A	19910503	
			FI 1992-1951	A	19920430	
			IL 1992-101760	A3	19920501	
			US 1992-878710	A3	19920504	
			US 1994-261269	A3	19940615	

OTHER SOURCE(S): MARPAT 118:124405

IT 146395-94-0P 146395-95-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neurokinin and substance P antagonists)

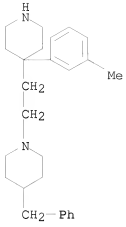
RN 146395-94-0 CAPLUS

CN Piperidine, 4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-1-(triphenylmethyl)- (CA INDEX NAME)



RN 146395-95-1 CAPLUS

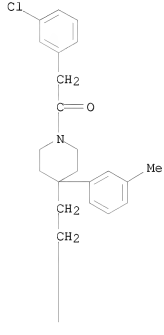
CN Piperidine, 1-[2-[4-(3-methylphenyl)-4-piperidinyl]ethyl]-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



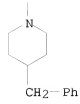
●2 HCl

IT 146366-53-2P 146366-54-3P 146366-55-4P
146366-56-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neurokinin and substance P antagonist)
RN 146366-53-2 CAPLUS
CN Ethanone, 2-(3-chlorophenyl)-1-[4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



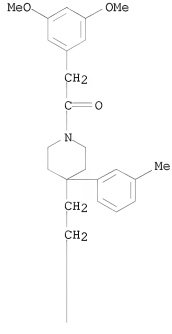
PAGE 2-A



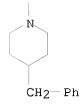
● HCl

RN 146366-54-3 CAPLUS
CN Ethanone, 2-(3,5-dimethoxyphenyl)-1-[4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



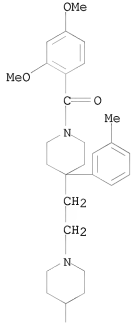
PAGE 2-A



● HCl

RN 146366-55-4 CAPLUS
CN Methanone, (2,4-dimethoxyphenyl)[4-(3-methylphenyl)-4-[2-[4-(phenylmethyl)-1-piperidinyl]ethyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

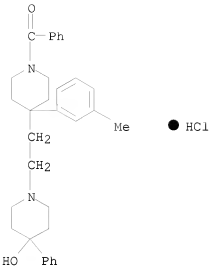
PAGE 1-A



PAGE 2-A

● HCl

RN 146366-56-5 CAPLUS
CN Methanone, [4-[2-(4-hydroxy-4-phenyl-1-piperidinyl)ethyl]-4-(3-methylphenyl)-1-piperidinyl]phenyl-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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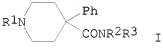


AB Title compds. I [R1 = C2-6 alkyl, R4O(CH2)m; R4 = C1-4 alkyl; m = 2-4; R2, R3 = C≤6 alkyl, R2R3 = (CH2)n; n = 4-6; one of R2 and R3 is H and the other is C1-6 alkyl] and a salt thereof, are prepared Norpethidine, Me(CH2)5I, anhydrous Na2CO3 and MeCN were refluxed to give Et 1-hexyl-4-phenyl-4-piperidinecarboxylate as HCl salt which was reacted with HCl and AcOH to give the acid-HCl. (COCl)2 was added to the piperidinecarboxylic acid, the reaction mixture stirred at 50° for 2 h, the solvent evaporated, the residue in CH2Cl2 was added to HNEt2 in CH2Cl2 to give the title compound I (R1 = C6H13, R2 = R3 = Et) (II). II at 2% concentration showed a mean duration of motor block and full analgesia of 48 and
85 min, resp., compared to pethidine 4 and 15 min, resp.

ACCESSION NUMBER: 1991:583116 CAPLUS
DOCUMENT NUMBER: 115:183116
ORIGINAL REFERENCE NO.: 115:31269a,31272a
TITLE: Preparation of substituted 4-phenyl-4-piperidinecarboxamides with both local anesthetic and analgesic effect
INVENTOR(S): Ask, Anna Lena; Sandberg, Rune
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9109845	A1	19910711	WO 1990-SE818	19901217	<--
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU					
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG					
ZA 9009903	A	19910828	ZA 1990-9903	19901210	<--
IL 96636	A	19941128	IL 1990-96636	19901211	<--
CA 2069608	A1	19910622	CA 1990-2069608	19901217	<--
CA 2069608	C	20010710			
AU 9169783	A	19910724	AU 1991-69783	19901217	<--
AU 647068	B2	19940317			
EP 506778	A1	19921007	EP 1991-901571	19901217	<--
EP 506778	B1	19960529			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 05502869	T	19930520	JP 1991-501896	19901217	<--
HU 64518	A2	19940128	HU 1992-2044	19901217	<--
HU 213110	B	19970228			
AT 138650	T	19960615	AT 1991-901571	19901217	<--
ES 2087280	T3	19960716	ES 1991-901571	19901217	<--
RO 112864	B1	19980130	RO 1992-832	19901217	<--
CN 1053605	A	19910807	CN 1990-106009	19901221	<--
CN 1037841	C	19980325			
CZ 278035	B6	19930317	CZ 1990-6581	19901221	<--
US 5227389	A	19930713	US 1990-633246	19901221	<--
PL 163591	B1	19940429	PL 1990-288409	19901221	<--
SK 278283	B6	19960807	SK 1990-6581	19901221	<--
FI 9202806	A	19920617	FI 1992-2806	19920617	<--
FI 100881	B	19980313			
FI 100881	B1	19980313			
NO 9202380	A	19920617	NO 1992-2380	19920617	<--
NO 178858	B	19960311			
NO 178858	C	19960619			
RU 2039043	C1	19950709	RU 1992-5052485	19920619	<--
US 5360805	A	19941101	US 1993-90416	19930712	<--
LV 10949	B	19960620	LV 1993-1042	19930827	<--
LT 4005	B	19960725	LT 1993-1731	19931230	<--

PRIORITY APPLN. INFO.:

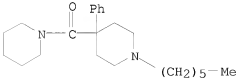
SE 1989-4298	A	19891221
WO 1990-SE818	A	19901217
US 1990-633246	A1	19901221

OTHER SOURCE(S): MARPAT 115:183116

IT 136483-86-8P 136483-89-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and local anesthetic)

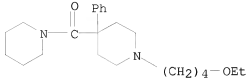
RN 136483-86-8 CAPLUS

CN Piperidine, 1-[(1-hexyl-4-phenyl-4-piperidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 136483-89-1 CAPLUS
CN Piperidine, 1-[[1-(4-ethoxybutyl)-4-phenyl-4-piperidinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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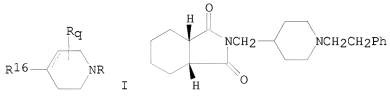


I II

AB The title compds. [I; R = (CH2)nR2; R1 = (CH2)mR3, (CH2)pAr; R2 is selected from 39 general benzo-fused phthalimido and analogous groups; R3 = cycloalkyl; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, (iso)quinolyl; R16 = H, OH, alkoxy, acyloxy, alkyl, (un)substituted (hetero)aryl; dashed line = optional bond; when said bond is present R16 = (CH2)nR2 and q = 0, otherwise q = 1; m, p = 1-4; n = 0-4] were prepared Thus, 4-aminomethylpyridine was cyclocondensed with cis-1,2-cyclohexanedicarboxylic anhydride and the product N-alkylated with BrCH2CH2Ph to give, after hydrogenation over PtO2, title compound II which inhibited isolation-induced aggressive behavior in mice when administered orally (no dose given).

ACCESSION NUMBER: 1991:535930 CAPLUS
DOCUMENT NUMBER: 115:135930
ORIGINAL REFERENCE NO.: 115:23306h,23307a
TITLE: Preparation of (phthalimidoalkyl)piperidines and analogs as psychotropic agents
INVENTOR(S): Ciganek, Engelbert; Tam, Sang William; Wright, Ann Sorrentino
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106297	A1	19910516	WO 1990-US6102	19901029 <--
W: AU, CA, FI, HU, JP, KR, NO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				

IL 96144	A	19940624	IL 1990-96144	19901028	<--
AU 9066265	A	19910531	AU 1990-66265	19901029	<--
AU 655406	B2	19941222			
ZA 9008641	A	19920624	ZA 1990-8641	19901029	<--
EP 497843	A1	19920812	EP 1990-916143	19901029	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 06504980	T	19940609	JP 1990-515062	19901029	<--
FI 9201856	A	19920424	FI 1992-1856	19920424	<--
NO 9201594	A	19920424	NO 1992-1594	19920424	<--

PRIORITY APPLN. INFO.: US 1989-428097 A 19891027
US 1990-602024 19901023
WO 1990-US6102 W 19901029

OTHER SOURCE(S): MARPAT 115:135930

IT 135903-70-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as psychotropic agent)

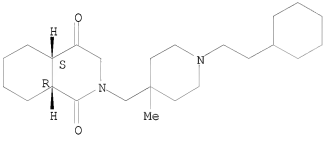
RN 135903-70-7 CAPLUS

CN 1,4-Isoquinolinedione, 2-[[1-(2-cyclohexylethyl)-4-methyl-4-piperidinyl]methyl]octahydro-, cis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 135903-69-4
CMF C24 H40 N2 O2

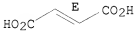
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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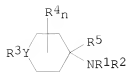
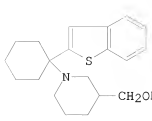


I



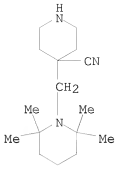
II

AB The title compds. [I; R1,R2 = (un)substituted alkyl; NR1R2 = (un)substituted piperidino, piperazino; R3 = H, alkoxy, OH; R4 = alkyl, alkoxy, OH; R5 = (un)substituted 1- or 2-naphthyl, 2-benzofuryl or -thienyl; Y = N, CR6; R6 = H, alkyl, alkoxy, OH; n = 0-8] were prepared Thus, cyclohexanone was stirred 48 h at 45° with 3-hydroxymethylpiperidine and Me2C(OH)CN in AcNMe2 containing MgSO4 and the product refluxed 16 h with the Grignard reagent prepared from 2-iodobenzo[b]thiophene in Et2O to give title compound II which gave lactomotor activity 4.16 times that of controls in mice receiving 10 mg/kg i.p.

ACCESSION NUMBER: 1991:207043 CAPLUS
DOCUMENT NUMBER: 114:207043
ORIGINAL REFERENCE NO.: 114:34915a,34918a
TITLE: Preparation of 1-aryl-1-piperidinocyclohexanes and analogs as antidepressants and nervous system stimulants
INVENTOR(S): Kamenka, Jean Marc; Privat, Alain; Chicheportiche, Robert Rubin; Costentin, Jean
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 406111	A1	19910102	EP 1990-401850	19900627 <--
EP 406111	B1	19961009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2649105	A1	19910104	FR 1989-8704	19890629 <--
CA 2019622	A1	19901229	CA 1990-2019622	19900622 <--
CA 2019622	C	20020108		
AT 143960	T	19961015	AT 1990-401850	19900627 <--
ES 2095242	T3	19970216	ES 1990-401850	19900627 <--
JP 03044356	A	19910226	JP 1990-170325	19900629 <--
JP 3047112	B2	20000529		
US 5248686	A	19930928	US 1992-883885	19920512 <--
PRIORITY APPLN. INFO.:			FR 1989-8704 A	19890629
			US 1990-540355 B1	19900619

OTHER SOURCE(S): CASREACT 114:207043; MARPAT 114:207043
IT 133714-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antidepressants and CNS stimulants)
RN 133714-27-9 CAPLUS
CN 4-Piperidinecarbonitrile, 4-[(2,2,6,6-tetramethyl-1-piperidinyl)methyl]- (CA INDEX NAME)



L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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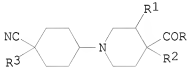


I

AB Cyclohexylpiperidines I (R = OH, esterified OH, amino; R1 = H, alkyl; R2, R3 = optionally substituted Ph, thienyl, pyridyl) were prepared Thus, 4-oxo-1-(2-pyridinyl)cyclohexanecarbonitrile was treated with Et 4-phenyl-4-piperidinecarboxylate, followed by reduction, to give I (R = OEt, R1 = H, R2 = Ph, R3 = 2-pyridinyl). I have antihistaminic activity. Thus, I (R = OEt, R1 = H, R2 = Ph, R3 = 4-FC6H4) protected rats against the lethal effects of compds. 48/80 at 0.04 mg/kg orally.

ACCESSION NUMBER: 1982:19975 CAPLUS
DOCUMENT NUMBER: 96:19975
ORIGINAL REFERENCE NO.: 96:3319a,3322a
TITLE: 1-Cyclohexyl-4-aryl-4-piperidinecarboxylic acid derivatives
INVENTOR(S): Stokbroekx, Raymond; Luyckx, Marcel; Willems, Joannes A.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

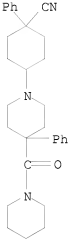
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 34415	A1	19810826	EP 1981-300313		19810123	<--
EP 34415	B1	19840530				
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE						
US 4369184	A	19830118	US 1980-191631		19800929	<--
RO 81223	A1	19830215	RO 1981-103173		19810121	<--
AT 7691	T	19840615	AT 1981-300313		19810123	<--
PRIORITY APPLN. INFO.:			US 1980-114924	A	19800124	
			US 1980-191631	A	19800929	
			US 1980-191635	A	19800929	
			EP 1981-300313	A	19810123	

OTHER SOURCE(S): MARPAT 96:19975

IT 80139-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antihistaminic activity of)

RN 80139-22-6 CAPLUS

CN Cyclohexanecarbonitrile, 1-phenyl-4-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

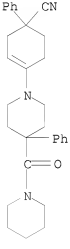


● HCl

IT 80139-17-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 80139-17-9 CAPLUS

CN 3-Cyclohexene-1-carbonitrile, 1-phenyl-4-[4-phenyl-4-(1-piperidinylcarbonyl)-1-piperidinyl]- (CA INDEX NAME)



L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
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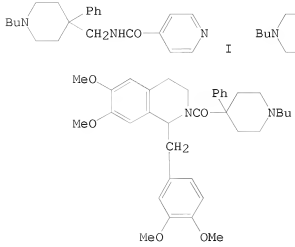


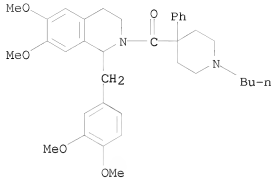
AB The 3 newly synthesized derivs. of N-butylpiperidine, 1-butyl-4-phenyl-4-isonicotinoylaminoethylpiperidine (BG 25)(I) [59455-24-2], 1-butyl-isonictinoylpiperidine (BG 26)(II) [77954-39-3] and N-(1-butyl-4-phenyl-4-piperidinoyl)tetrahydropapaverine (BG 9)(III) [77172-81-7], were evaluated for analgesic activity and opiate receptor affinity. All the 3 compds. showed analgesic activity both in hot-plate and flinch-squeak-jump test in mice, BG 9 being the most potent. The affinity of the compds. to opiate receptor was moderate (in comparison with pentazocine): the affinity of BG 9 was much greater than that of BG 25 and BG 26. The compds. showed a pronounced inhibitory action in stimulated guinea-pig ileum preparation; this was reversible by naloxone. As evaluated on pA (ID50/Ke ratio) basis, all 3 compds. showed moderate antagonistic activity with BG 9 showing most activity. Cholinolytic and strong spasmolytic properties were observed in isolated rat ileum preparation for
BG 9 only.

ACCESSION NUMBER: 1981:435457 CAPLUS
DOCUMENT NUMBER: 95:35457
ORIGINAL REFERENCE NO.: 95:5959a,5962a
TITLE: Analgesic activity and opiate receptor affinity of new derivatives of N-butylpiperidine
AUTHOR(S): Janicki, Piotr; Czlonkowski, Andrzej; Osipiak, Beata; Myszkowska, Urszula; Gumulka, Witold; Libich, Jerzy; Chodkowski, Andrzej; Gutkowska, Bozena
CORPORATE SOURCE: Inst. Physiol. Sci., Med. Acad., Warsaw, 00-927, Pol.
SOURCE: Polish Journal of Pharmacology and Pharmacy (1980), 32(2), 141-8
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 77172-81-7
RL: PRP (Properties)
(analgesic activity and opiate receptor affinity of)

RN 77172-81-7 CAPLUS
CN Methanone, (1-butyl-4-phenyl-4-piperidinyl)[1-[(3,4-dimethoxyphenyl)methyl]-3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl]-

(CA INDEX NAME)

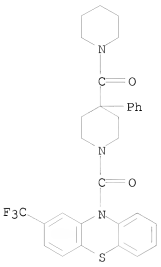


L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI For diagram(s), see printed CA Issue.
AB I, useful as tranquilizers, analgesics, antispasmodics, and antiinflammatory drugs, are manufactured by the reaction of II with III. In an example, 1.3 g II (X = H, Y = CH2CH2, R = Cl) and 1.06 g III (R1 = CONH2, R2 = piperidino) in 40 ml EtOH are refluxed 3 hr with 0.72 ml NEt3 to give 1.9 g I (X = H, Y = CH2CH2, R1 = CONH2, R2 = piperidino), m. 208-9° (PhMeligrine). Similarly prepared are the following I (X, Y, R1, R2, m.p., and % yield given): H, CH2CH2, OH, m-CF3C6H4, 185-6°, 91; H, CH2CH2, CN, Ph, 199-201°, 94.5; H, CH2CH2, OH, PhCH2, 140-2°, 80; H, CH2CH2, Ac, Ph, 158-9°, 92.5; H, CH2CH2, piperidino, H, 132-3°, 76; H, CH:CH, CONH2, piperidino, 20,-9°, 92.5; H, CH:CH, OH, m-CF3C6H4, 176-7°, 83; Cl, S, CONH2, Ph, 119-22°, 90; OMe, S, CONH2, piperidino, 139-41.5°, 75.5; CF3, S, Ph, piperidinocarbonyl, 114-15°, 80.

ACCESSION NUMBER: 1970:531014 CAPLUS
DOCUMENT NUMBER: 73:131014
ORIGINAL REFERENCE NO.: 73:21353a,21356a
TITLE: Piperidine derivatives
INVENTOR(S): Nakanishi, Michio; Taira, Yoshihisa
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45025696	B4	19700825	JP	19670616 <--

IT 29263-97-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29263-97-6 CAPLUS
CN Phenothiazine, 10-[[4-phenyl-4-(piperidinocarbonyl)piperidino]carbonyl]-2-(trifluoromethyl)- (8CI) (CA INDEX NAME)

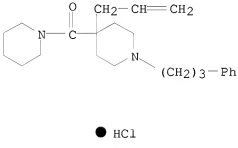


L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) and their salts show marked antitussive effects and can be used in pharmaceutical prepns. Thus, 20 g Et isonicotinate was refluxed 5 hr with 75.5 g Ph(CH2)3Br in 100 ml EtOH to yield 4-carboxy-1-(3-phenylpropyl)pyridinium bromide , 24.1 g of which was hydrogenated in 200 ml EtOH at room temperature and 3-4 atm with 5% Rh-Al2O3 to yield Et 1-(3-phenylpropyl)isonipecotate (Ia), b0·08 130-2°. Ia (18.3 g) in 20 ml ether was added at 28° to Ph3CLi (from 11.0 g PhBr and 0.98 g Li in 100 ml ether and 17.1 g Ph3CH) in 80 ml (MeOCH2)2, the solution stirred 10 min at room temperature, treated with 8.45 g CH2:CHCH2Br in 20 ml ether and the mixture stirred 2.5 hr and distillation yielded 1-(3-phenylpropyl)-4-allylisonipecotic acid (II) Et ester (III), b0·01 78°, fumarate m. 138° (iso-PrOH). III gave II.HCl which was dissolved in 40 ml CH2Cl2 and treated in 15 min with 30 ml (COCl)2 in 20 ml CH2Cl2 and the mixture stirred 30 min to yield II chloride-HCl; to a solution of this in 50 ml CH2Cl2 was added in 15 min with ice-cooling 30 ml MeNH2 in 20 ml CH2Cl2 to yield, after stirring 1 hr and working up with water, CH2Cl2, and HCl-ether I.HCl [R1 = Ph(CH2)3, R2 = allyl, R3 = Me, R4 = H], m. 204-6°. The following I.HCl [R1 = Ph(CH2)3, R2 = allyl] (Ib) were prepared similarly (R3, R4, m.p. given): H, H (IV), 214-15°; Et, H (V), 178-9°; Pr, H (VI), 178-9°; iso-Pr, H (VII), 146-7°; Bu, H (VIII), 175-6°; Me, Me (IX), 140-1°; and allyl, H (X), 173-4°. Also prepared by the same procedure with N heterocycles were these Ib (NR3R4 and m.p. given): morpholino (Q) (XI), 184-5° (Me2CO-ether); 1-pyrrolidinyl, 173-4°; piperidino, 123-4°. Et 1-(3-phenylpropyl)-4-propargylisonipecotate (XII), b0·05 170-2°, fumarate m. 153° (iso-PrOH), was prepared similarly to III; XII was converted to I.HCl [R1 = Ph(CH2)3, R2 = CH.tplbond.CCH2) (R3 , R4, and m.p. given): (NR3R4 =) Q, 186-7°; Me, H, 203-4°; Me, Me, 179-81°. II K (7.65 g) salt in 50 ml PhMe was treated with 6.45 g Me2NCOCl in 50 ml PhMe in 5 min, the mixture heated slowly to 90° to gas evolution and refluxed 30 min to yield IX. Et 4-allylisonipecotate was treated with KOH and then (COCl)2 to give a residue which was treated with morpholine to give 4-allylisonipecotic acid morpholide. This in 10 ml Et2CO was refluxed 12 hr with 5 ml Ph(CH2)3Cl and 0.5 g K2CO3 to give XI by HCl-ether. Similarly prepared were IV-X.
ACCESSION NUMBER: 1970:31623 CAPLUS

DOCUMENT NUMBER: 72:31623
ORIGINAL REFERENCE NO.: 72:5777a,5780a
TITLE: Substituted 4-piperidinecarboxamides (isonipectamides)
INVENTOR(S): Kuehnis, Hans; Denss, Rolf
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1901176	A	19690904	DE 1969-1901176	19690110 <--
US 3586678	A	19710622	US 1968-788068	19681230 <--
NL 6900118	A	19690715	NL 1969-118	19690103 <--
BE 726777	A	19690710	BE 1969-726777	19690110 <--
FR 2000159	A5	19690829	FR 1969-295	19690110 <--
AT 285607	B	19701110	AT 1969-250	19690110 <--
AT 285608	B	19701110	AT 1969-12000	19690110 <--
ES 362368	A1	19701201	ES 1969-362368	19690110 <--
ES 362369	A1	19701201	ES 1969-362369	19690110 <--
BR 6905484	D0	19730208	BR 1969-205484	19690110 <--
US 3737538	A	19730605	US 1970-83625	19701023 <--
PRIORITY APPLN. INFO.:			CH 1968-421 A	19680111
			US 1968-788068 A3	19681230

IT 25765-02-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 25765-02-0 CAPLUS
CN Piperidine, 1-[4-allyl-1-(3-phenylpropyl)isonipecotoyl]-, monohydrochloride (8CI) (CA INDEX NAME)



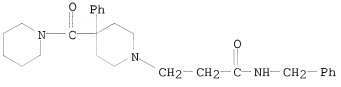
● HCl

L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
AB 4,4-Disubstituted piperidines are treated with ClCH2CH2CONHR1 to give 1-(R1NHCOCH2CH2-substituted)-4-phenyl-4-(R2-NCO-substituted)piperidines (I). Thus, a mixture of 4-phenyl-4-(pyrrolidinylcarbonyl)piperidine, 9.85 g. ClCH2CH2CONHCH2-Ph, 5.1 g. Et3N, and 35 ml. HCONMe2 is agitated 1.5 hrs. at 70° and added to 300 ml. water containing 2 g. NaOH to give N-benzyl-β-[4-phenyl-4-(pyrrolidinylcarbonyl)piperidino]propionamide. m. 139-42°, HCl salt m. 256-8°. Similarly prepared are (m.p. HCl salt given): I(R2N = pyrrolidinyl, R1 = 2-phenylcyclopropyl), 207-8°; I (R2N = piperidino, R1 = PhCH2), 241.5-2°.
ACCESSION NUMBER: 1969:96645 CAPLUS
DOCUMENT NUMBER: 70:96645
ORIGINAL REFERENCE NO.: 70:18053a,18056a
TITLE: 1-(2-Carbamoylethyl)-4-phenyl-4-carbamoyl piperidines

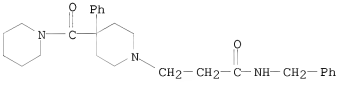
INVENTOR(S): Biel, John H.
PATENT ASSIGNEE(S): Aldrich Chemical Co., Inc.
SOURCE: Brit., 8 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1139386		19690108	GB 1967-35128	19670731 <--

IT 18085-69-3P 18085-70-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18085-69-3 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)- (8CI)
(CA INDEX NAME)



RN 18085-70-6 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)-,
monohydrochloride (8CI) (CA INDEX NAME)



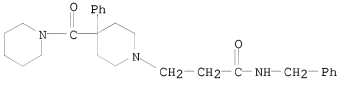
● HCl

L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) where R is a 1-piperidinyl or 1-pyrrolidinyl group and R1 is a 2-phenylcyclopropyl or benzyl group were prepared by treating the 1-unsubstituted piperidine with a halo or tosylalkanoic acid amide, CH3CH2CONHR1 (II). I are useful as antiarrhythmic agents when used in 10-200 mg./kg. dosage. Thus, 4-phenyl-4-pyrrolidinocarbonylpiperidine 12.9, II (R1 = benzyl) 9.85, and Et3N 5.1 g. were mixed with 35 ml. HCONMe2 at 70° for 1.5 hrs. and the resulting viscous mixture was added to 300 ml. water containing 2 g. NaOH to yield I (R = 1-pyrrolidinyl, R1 = CH2Ph), m. 139-42°. Dissolving the I in CH2Cl2 and passing a stream of anhydrous HCl through the solution yielded the HCl salt, m. 256-8°. Similarly prepared were I (R = 1-pyrrolidinyl, R1 = 2-phenylcyclopropyl), its HCl salt m. 207-8°, and I (R = 1-piperidinyl, R1 = CH2Ph), and its HCl salt, m. 241.5-242°.
ACCESSION NUMBER: 1969:57664 CAPLUS

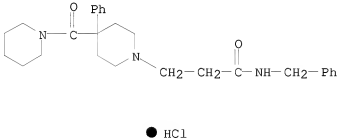
DOCUMENT NUMBER: 70:57664
ORIGINAL REFERENCE NO.: 70:10821a,10824a
TITLE: Substituted piperidines having antiarrhythmic activity
INVENTOR(S): Biel, John H.
PATENT ASSIGNEE(S): Aldrich Chemical Co., Inc.
SOURCE: S. African, 32 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6704470		19680304	ZA	19670725 <--

IT 18085-69-3P 18085-70-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18085-69-3 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



RN 18085-70-6 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)-, monohydrochloride (8CI) (CA INDEX NAME)



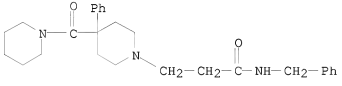
● HCl

L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
GI For diagram(s), see printed CA Issue.
AB A mixture of 4-phenyl-4-(1-pyrrolidinylcarbonyl)piperidine 0.05, N-benzyl-β-chloropropionamide 0.05, and Et3N 0.05 mole in 35 ml. HCONMe2 was stirred 1.5 hrs. at 70° and added to 300 ml. H2O containing 2 g. NaOH to give crystalline N-benzyl-β-[4-phenyl-4-(1-pyrrolidinylcarbonyl)piperidino]propionamide (I), m. 139-42°; HCl salt of I m. 256-8°. N-(2-Phenylcyclopropyl)-β-[4-phenyl-4-(1-pyrrolidinylcarbonyl)piperidino]propionamide, its HCl salt, m. 207-8°, N-benzyl-β-[4-phenyl-4-(piperidinocarbonyl)piperidino]propionamide, and its HCl salt, m. 241.5-42°, were similarly prepared The HCl salts are useful in 10-200 mg./kg. dosages for treating cardiac arrhythmia.

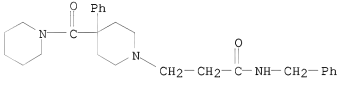
ACCESSION NUMBER: 1968:87181 CAPLUS
DOCUMENT NUMBER: 68:87181
ORIGINAL REFERENCE NO.: 68:16807a,16810a
TITLE: N-Aryl-β-(4-phenyl-4-heteroaminocarbonylpiperidino)propionamides for treating cardiac arrhythmia
INVENTOR(S): Biel, John H.
PATENT ASSIGNEE(S): Aldrich Chemical Co., Inc.
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3334106		19670801	US 1964-408453	19641020 <--

IT 18085-69-3P 18085-70-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18085-69-3 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)- (8CI) (CA INDEX NAME)



RN 18085-70-6 CAPLUS
CN 1-Piperidinepropionamide, N-benzyl-4-phenyl-4-(piperidinocarbonyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2008 ACS on STN
AB 1-Aroylalkyl-4-arylpiperidine-4-carboxamides (I) were prepared as apomorphine inhibitors. The following intermediates were prepared: 1-benzyl-4-cyano-4-(3-tolyl)piperidine-HCl, m. 247.5-9.3°; 1-benzyl-4-cyano-4-(4-tolyl)piperidine-HCl, m. 281.6-2.9°; 1-(4-toluenesulfonyl)-4-cyano-4 (3-chlorophenyl)piperidine, m. 179.6-80.4°; 1-(4-toluenesulfonyl)-4-cyano-4-(3-tolyl)piperidine, m. 190-1°; 1-(4-toluenesulfonyl)-4-cyano-4-(2-thienyl)piperidine, m. 149.8-160° (decomposition). 3-Me derivs. of I were obtained as 2 stereoisomers, α and β, which were separated by fractional crystallization

from acetone, the derivative α precipitating at first. The compds. prepared were:

1-(4-toluenesulfonyl)-3α-methyl-4-cyano-4-(4-chlorophenyl)piperidine, m. 205-6°;
1-(4-toluenesulfonyl)-3α-methyl-4-cyano-4-(4-fluorophenyl)piperidine, m. 141.8-2.8°, and corresponding β derivative, m. 204.5-5.5°; 1-(4-toluenesulfonyl)-3α-methyl-4-cyano-4-(4-tolyl)piperidine, m. 209.5-10.2°;
1-(4-toluenesulfonyl)-3α-methyl-4-cyano-4-phenylpiperidine, m. 146.2-8°, and corresponding β derivative, m. 217-18°;
3α-methyl-4-phenylpiperidine-4-carboxamide-HCl, m. 206.5-11°;
3β-methyl-4-phenylepiperidine-4-carboxamide, m. 190-2.8°, and corresponding HCl salt, m. 296.5-9°;
1-(4-toluenesulfonyl)-3α-methyl-4-phenyl-4-carboxypiperidine, m. 173.5-5°, and corresponding β derivative, m. 209.5-211.4°;
1-(4-toluenesulfonyl)-4-(2-thienyl)-4-carboxypiperidine, m. 216.6-19°; 1-(4-toluenesulfonyl)-3α-methyl-4-(4-chlorophenyl)-4-carboxypiperidine, m. 177-9°;
1-(4-toluenesulfonyl)-4-(4-chlorophenyl)-4-carboxypiperidine, m. 221-2.5°; 1-(4-toluenesulfonyl)-4-(4-tolyl)-4-carboxypiperidine, m. 226.5-8.5°; 1-benzyl-4-(4-tolyl)-4-carboxypiperidine, m. 280-3°; 1-benzyl-4-(4-chlorophenyl)-4-carboxypiperidine-HCl, m. 257.9-261°; 1-benzyl-4-(4-tolyl)-4-carboxypiperidine morpholide, m. 136.6-8.7°; 1-benzyl-4-(4-tolyl)piperidine-4-(N,N-dimethylcarboxamide), m. 136.4-40.1°;
1-benzyl-4-phenylpiperidine-4-(N-methylcarboxanilide)-HCl, m. 220-1°; 1-benzyl-4-(3-tolyl)-4-carboxypiperidinepyrrolidide, m. 105-8°, and corresponding 4-tolyl isomer, m. 155-6°;
1-benzyl-4-(3-tolyl)piperidine-4-(N,N-dimethylcarboxamide), m. 95.4-8.6°; 1-benzyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide), m. 73.4-4.6°; 1-benzyl-4-(3-tolyl)-4-carboxypiperidine morpholide, m. 156-8°; 1-benzyl-4-(4-tolyl)-4-carboxypiperidine piperidide, m. 121-1.5°; 1-benzyl-4-phenylpiperidine-4-(N-benzylcarboxamide), m. 129.5-30.5°; 1-benzyl-4-phenylpiperidine-4-(N-phenylcarboxamide)-HCl, m. 261-2.5°; 1-benzyl-4-phenyl-4-carboxypiperidine pyrrolidide, m. 165.5-6.5°, and corresponding morpholide and piperidide, m. 138.2-9.8° and 132.8-4°, resp.;
1-benzyl-4-phenylpiperidine-4-(N-methylcarboxamide), m. 135.2-6.4°;
1-benzyl-4-phenylpiperidine-4-(N-tert-butylcarboxamide), m. 127.4-8.2°; 1-benzyl-4-(4-chlorophenyl)piperidine-4-(N,N-dimethylcarboxamide), m. 141-2.8°;
1-benzyl-4-phenylpiperidine-4-(N,N-dimethylcarboxamide), m. 137-8°;
1-(4-toluenesulfonyl)-3α-methyl-4-phenylpiperidine-4-(N-methylcarboxamide), m. 219.5-21.3°;
1-(4-toluenesulfonyl)-4-(4-chlorophenyl)piperidine-4-(N,N-dimethylcarboxamide), m. 159.4-63°;
1-(4-toluenesulfonyl)-4-(4-fluorophenyl)-4-carboxypiperidine pyrrolidide, m. 227-32°, and corresponding 4-(4-methoxyphenyl) and 4-(4-chlorophenyl) analogs, m. 174.5-6° and 239.5-41.5;, resp.;
1-(4-toluenesulfonyl)-3α-methyl-4-phenylpiperidine-4-(N,N-dimethylcarboxamide), m. 186.6-7.4° and corresponding β derivative, m. 194-5°; 1-(4-toluenesulfonyl)-3α-methyl-4-(4-chlorophenyl)-4-carboxypiperidine pyrrolidide, m. 152-4°;
1-(4-toluenesulfonyl)-3β-methyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide), 162-3°;
1-(4-toluenesulfonyl)-3β-methyl-4-phenyl-4-carboxypiperidine pyrrolidide, m. 184.2-5°; 1-(4-toluenesulfonyl)-3β-methyl-4-phenyl-4-carboxypiperidine piperidide, m. 189.4-90°;
1-(4-toluenesulfonyl)-3α-methyl-4-phenyl-4-carboxypiperidine morpholide, m. 149-50.5°; 1-(4-toluenesulfonyl)-4-(3-chlorophenyl)piperidine-4-(N,N-dimethylcarboxamide), m. 152-6°;
1-(4-toluenesulfonyl)-4-(4-ethylphenyl)-4-carboxypiperidine pyrrolidide,

m. 131.2-3°; 1-(4-toluenesulfonyl)-4-(3-methoxyphenyl)-4-carboxypiperidine pyrrolidide, m. 164.6-7.6° (decomposition); 1-(4-toluenesulfonyl)-4-(4-fluorophenyl)-4-carboxypiperidine morpholide, m. 219.5-21°; 1-(4-toluenesulfonyl)-4-(3-methoxyphenyl)piperidine-4-(N,N-dimethylcarboxamide), m. 147-51.6°; 1-(toluenesulfonyl)-4-(4-fluorophenyl)piperidine-4-(N,N-dimethylcarboxamide), m. 87-133° (sic); 3α-methyl-4-phenylpiperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 252.4-5°; 3α-methyl-4-phenyl-4-carboxypiperidine piperidide-HCl, m. 236.5-8.5°; 3β-methyl-4-phenyl-4-carboxypiperidine morpholide, m. 111.5-14°; 3α-methyl-4-phenyl-4-carboxypiperidine morpholide-HCl, m. 259.6-60.8°; 3β-methyl-4-phenyl-4-carboxypiperidine pyrrolidide, m. 129.2-32.4°, and the HCl salt of the corresponding α derivative, m. 247-9°; 3β-methyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide)HCl, m. 230-1°, and corresponding α derivative, m. 243-5°; 3β-methyl-4-phenylpiperidine-4-(N,N-dimethylcarboxamide), m. 123.8-4.6°; 3α-methyl-4-(4-chlorophenyl)-4-carboxypiperidine pyrrolidide-HCl, m. 268-70° (decomposition); 4-(3-chlorophenyl)-piperidine-4-(N,N-dimethylcarboxamide), m. 105-6°; 4-phenyl-4-carboxypiperidine-2,6-dimethylmorpholide oxalate, m. 90-152° (decomposition); 4-(4-ethylphenyl)-4-carboxypiperidine pyrrolidide, m. 109.5-10.5°; 4-(3-methoxyphenyl)-4-carboxypiperidine pyrrolidide, m. 121.5-3.8°; 4-(4-fluorophenyl)-4-carboxypiperidine morpholide, m. 133-6°; 4-(3-methoxyphenyl)piperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 205-6°; 4-(4-fluorophenyl)piperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 199.5-203°; 4-phenyl-4-carboxypiperidine 4-phenylpiperazide, m. 126-9°; 4-(2-thienyl)-4-carboxypiperidine pyrrolidide-HCl, m. 162-211°; 4-phenylpiperidine-4-(N-isopropylcarboxamide) oxalate, m. 211.5-12.5°; 4-(4-fluorophenyl)-4-carboxypiperidine pyrrolidide, m. 139.6-40.4°; 4-(4-chlorophenyl)-4-carboxypiperidine pyrrolidide, m. 146.8-7.6°; 4-phenylpiperidine-4-carboxamide, m. 154-5°; 4-phenylpiperidine-4-(N,N-dimethylcarboxamide), m. 74.5-81°; 4-(4-tolyl)piperidine-4-(N,N-dimethylcarboxamide), m. 126-30°; 4-(3-tolyl)piperidine-4-(N,N-dimethylcarboxamide), m. 99.2-101.1°; 4-phenylpiperidine-4-(N,N-diethylcarboxamide)-HCl, m. 235.8-6.5°; 4-phenylpiperidine-4-(N-tert-butyl)carboxamide-HCl, m. 276.8-8°; 4-phenylpiperidine-4-carboxanilide-HCl, m. 218.5-22°; 4-phenylpiperidine-4-(N-benzylcarboxamide)-HCl, m. 278-9.5°; 4-phenylpiperidine-4-(N-methylcarboxanilide)-HCl, m. 275-6°; 4-(4-tolyl)-4-carboxypiperidine pyrrolidide, m. 142.2-2.8°, and corresponding 3-tolyl isomer, m. 109-10°; 4-phenyl-4-carboxypiperidine pyrrolidide, m. 126-7.4°, and HCl salt, m. 229-30.5°; 4-phenyl-4-carboxypiperidine morpholide, m. 125-6°; 4-(4-tolyl)-4-carboxypiperidine morpholide, m. 142-2.8°, and corresponding 3-tolyl isomer, m. 110.411.2°; 4-phenyl-4-carboxypiperidine piperidide, m. 122-3.5°; 4-(4-tolyl)-4-carboxypiperidine piperidide, m. 104.8-7°. γ-Chlorobutyrophenone (II), b5 134-7°, was prepared from 71 g. γ-chlorobutyryl chloride and 63 g. C6H6 in presence of 71 g. AlCl3. γ-Chloro-4-methoxybutyrophenone, b6 175°, and γ-chloro-4-fluorobutyrophenone, b6 136-42°, were similarly obtained. 1-(γ-Benzoylpropyl)-3α-methyl-4-phenylpiperidine-4-carboxamide-HCl m. 196.2-8.6°, was prepared by refluxing for 72 hrs. a mixture of 5.4 g. II, 6 g. 3α-methyl-4-phenylpiperidine-4-carboxamide, 8.5 g. Na2CO3, 0.1 g. KI, and 200 g. 4-methyl-2-pentanone, cooling, evaporating the filtrate, and treating the residue with dry HCl in anhydrous Et2O. 1-(γ-Benzoylpropyl) derivs. of the following compds.

were similarly prepared: β-methyl-4-phenylpiperidine-4-carboxamide-HCl, m. 267.5-8°; 4-phenylpiperidine-4-(N-methylcarboxamide)-HCl, m. 209.5-12°; 4-phenylpiperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 214.5-15.5°, and corresponding 3β-methyl derivative, m. 238-9°; 4-(3-tolyl)piperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 200-1.4°, and corresponding 4-tolyl derivative, m. 227-8.5°, corresponding (4-chlorophenyl) derivative, m. 232-3°, and corresponding (3-chlorophenyl) derivative oxalate, m. 203°; 4-phenylpiperidine-4-(N,N-diethylcarboxamide), m. 69.5-71.5°; 3α-methyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide) oxalate, m. 163-7.8°, and corresponding 3β-methyl isomer HCl salt, m. 186.8-8.6°; 4-phenyl-4-carboxypiperidine pyrrolidide-HCl, m. 203-4°, and corresponding 4-(3-chlorophenyl) derivative oxalate, m. 208-9°; 3α-methyl-4-phenyl-4-carboxypiperidine pyrrolidide oxalate, m. 171.6-4.6° (decomposition); 4-(3-tolyl)-4-carboxypiperidine pyrrolidide oxalate, m. 200-3° (decomposition), corresponding (4-tolyl) derivative HCl salt, m. 200-1.5°, (4-fluorophenyl) derivative, oxalate m. 206-8°, (4-chlorophenyl) derivative HCl salt, m. 216-18°, and (4-trifluoromethylphenyl) derivative; 4-phenyl-4-carboxypiperidine piperidide, m. 132.6-3.5°, and corresponding 3α-methyl derivative oxalate, m. 180.1-2°; 4-phenyl-4-carboxypiperidine morpholide-HCl m. 285° (decomposition), and corresponding 3α-methyl derivative oxalate, m. 181.5-4.5°, and 3β-methyl derivative HCl salt, m. 220.5-1.5°; 4-(3-tolyl)-4-carboxypiperidine morpholide-HCl, m. 244-8°, and corresponding (4-tolyl) derivative, m. 224-5°, and (4-ethylphenyl) derivative Similarly, 1-[γ-(4-methoxybenzoyl)propyl]-4-(4-chlorophenyl)piperidine-4-(N,N-dimethylcarboxamide-HCl, m. 194-5.2°, was prepared 2-(γ-Chlorobutyryl)thiophene (III), b11 144-6°, was prepared by reaction for 2 hrs. at 0° of 84 g. thiophene, 141 g. γ-chlorobutyryl chloride in 870 g. C6H6 and 260 g. SnCl4. 1-[γ-(2-Thenoyl)propyl]-4-phenylpiperidine-4-(N-tert-butylcarboxamide) oxalate, m. 219-20.5°, was prepared by adding progressively 4.3 g. III in 60 g. 4-methyl-2-pentanone to the free base from 4.9 g. 4-phenylpiperidine-4-(N-tert-butylcarboxamide) hydrochloride in presence of 5.3 g. Na2CO3, 0.1 g. KI, and 60 g. 4-methyl-2-pentanone, refluxing 48 hrs., and treating the reaction product in MeOH with (CO2H)2. 1-[γ-(2-Thenoyl)propyl] derivs. of the following compds. were similarly prepared: 4-phenylpiperidine-4-(N-phenylcarboxamide) oxalate, m. 217-20.8° (decomposition), and corresponding N-benzyl analog HCl salt, m. 182.4-4.2°, and 4-(N-methyl)-N-phenyl) analog HCl salt, m. 231.6-2.5°; 4-phenylpiperidine-3β-methyl-4-(N,N-dimethylcarboxamide)-HCl, m. 244-5.2°; 4-(3-tolyl)-piperidine-4-(N,N-dimethylcarboxamide)-HCl, m. 206.5-7.7°, and corresponding 4-tolyl isomer, m. 242.5-3.5°, 4-chlorophenyl derivative m. 245-6.4°, and 4-methoxyphenyl derivative, m. 232-6°; 3α-methyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide) oxalate, m. 149-53.2°, and corresponding 3β-isomer HCl salt, m. 193.2-4.5°; 4-(4-tolyl)-4-carboxypiperidine piperidide-HCl, m. 243.5-5°; 3α-methyl-4-phenyl-4-carboxypiperidine piperidide oxalate, m. 184-7°, and corresponding 3β-isomer HCl salt, m. 209-10°; 3β-methyl-4-phenyl-4-carboxypiperidine pyrrolidide-HCl, m. 231.5-2°; 4-phenyl-4-carboxypiperidine pyrrolidide, m. 125.4-7° (HCl salt m. 229-35°); 4-(3-tolyl)-4-carboxypiperidine pyrrolidide-HCl, m. 194.8-5.8° (oxalate m. 205-6°), and corresponding (4-tolyl) derivative, m. 231-2.5°, 4-ethylphenyl derivative oxalate, m. 184.6-5.6°, 3-methoxyphenyl derivative oxalate, m. 213.5-4.5°, 4-chlorophenyl derivative HCl salt, m. 233.5-5.5°, and 4-methoxyphenyl derivative oxalate, m. 174-8° (decomposition); 4-(4-fluorophenyl)-piperidine-4-(N,N-dimethylcarboxamide)oxalate, m. 218-19°, and corresponding (3-methoxyphenyl) derivative, m. 182-4°;

4-(4-fluorophenyl)-4-carboxypiperidine morpholide oxalate, m. 222.5-3.5°, and corresponding (4-tolyl) derivative HCl salt, m. 245-7°, 3-tolyl derivative HCl salt, m. 237-40°, and 3β-methyl-4-phenyl derivative HCl salt, m. 235-8°; 4-(4-ethylphenyl)piperidine-4-(N,N-dimethylcarboxamide) oxalate, m. 209.5-10.2°; 3α-methyl-4-(4-chlorophenyl)-4-carboxypiperidine pyrrolidide-HCl, m. 223.5-5.5°; 4-(4-fluorophenyl)-4-carboxypiperidine pyrrolidide, m. 100.4-3.2° (oxalate m. 204-10°), corresponding 2-thienyl derivative oxalate, m. 175-80°; 4-(3-chlorophenyl)piperidine-4-(N,N-dimethylcarboxamide) oxalate, m. 197-8.5°; 4-(4-ethylphenyl)-4-carboxypiperidine morpholide oxalate, m. 206.5-7.5°, and corresponding (3-methoxyphenyl) derivative HCl salt, m. 217-22.5°. 1-[γ-(4-Fluorobenzoyl)propyl derivs. of the following compds. were prepared: 4-phenylpiperidine-4-carboxamide-HCl, m. 250.6-2° (decomposition); 3α-methyl-4-phenylpiperidine-4-carboxamide-HCl, m. 229.5-31°, and corresponding 3β-derivative (free base m. 169.6-71°); 4-(4-tolyl)piperidine-4-carboxamide, m. 145-8.6°, and corresponding (4-ethylphenyl) derivative; 4-phenylpiperidine-4-(N-methylcarboxamide), m. 143.4°, and corresponding N-phenyl derivative oxalate, m. 202.5°, N-benzyl derivative HCl salt, m. 231.5-2.8°, N,N-dimethyl derivative, m. 119-20°, and N,N-diethyl derivative, m. 81-3.4°; 4-(3-tolyl)piperidine-4-(N,N-dimethylcarboxamide), m. 122.5-3.5°, corresponding 4-tolyl derivative, m. 132.6-5°, 4-chlorophenyl derivative m. 135-7°, 4-methoxyphenyl derivative oxalate, m. 160-8°, 3α-methyl-4-phenyl derivative oxalate, m. 168.4-9.8° (decomposition), and 3β-methyl-4-phenyl derivative HCl salt, m. 203-2-4.2°; 3α-methyl-4-phenylpiperidine-4-(N,N-diethylcarboxamide) oxalate, m. 161-5°, corresponding 3β-derivative HCl salt, m. 179-80°; 4-phenylpiperidine-4-(N-methyl-N-phenylcarboxamide) oxalate, m. 211-12°; 4-phenyl-4-carboxypiperidine piperidide, m. 102.5-3.5°, corresponding 3α-methyl derivative oxalate, m. 173-6°, and 3β-methyl derivative, m. 88-9°; 4-phenyl-4-carboxypiperidine pyrrolidide, m. 104-5.2°; 4-(3-tolyl)-4-carboxypiperidine pyrrolidide, m. 93.8-4.8° (oxalate m. 209-10.5°), and corresponding 4-tolyl derivative HCl salt, m. 143.4-6.8°, 4-fluorophenyl derivative oxalate, m. 199.5-201°, 4-chlorophenyl derivative HCl salt, m. 212-13°, 3α-methyl-4-phenyl derivative oxalate, m. 188.4-9.6°, 3β-methyl-4-phenyl derivative, m. 100.2-2°, 3-methoxyphenyl derivative oxalate, m. 218.5-19.5°, 3-chlorophenyl derivative oxalate, and 4-ethylphenyl derivative oxalate, m. 198-9°; 4-phenyl-4-carboxypiperidine morpholide-HCl, m. 255-7°, and corresponding 3β-methyl derivative HCl salt, m. 203.5-5°, and 3α-methyl derivative, m. 119-20°, 4-(3-tolyl) derivative HCl salt, m. 239-40.5°, 4-tolyl derivative HCl salt, m. 226.5-9.3°, (4-trifluoromethylphenyl) derivative, and (4-fluorophenyl) derivative, m. 131.2° (oxalate m. 210-13°); 4-phenyl-4-carboxypiperidine 2,6-dimethylmorpholide oxalate, m. 186-7°; 4-(4-fluorophenyl)-4-carboxypiperidine 2-methylmorpholide oxalate; 4-(4-fluorophenyl)piperidine-4-(N,N-dimethylcarboxamide) oxalate, m. 188.3-93° (decomposition), corresponding 3-methoxyphenyl derivative oxalate, m. 196-8.6°, 4-ethylphenyl derivative oxalate, m. 185.6-7.4°, 3-chlorophenyl derivative oxalate, m. 193.5-6°, 2-thienyl derivative oxalate, m. 192-4°, and 2,4-xylyl derivative oxalate, m. 164.4-6.4°; 4-phenylpiperidine-4-(N-isopropylcarboxamide), m. 153.5-5°; 4-phenyl-4-carboxypiperidine 4-phenylpiperazide, m. 165-6.2°; 4-(3-methoxyphenyl)-4-carboxypiperidine morpholide oxalate, m. 218.5-19.6°; 4-(2,4-xylyl)-4-carboxypiperidine pyrrolidide oxalate, m. 159.6-63.6°, and corresponding 3-chlorophenyl derivative oxalate, m. 217-18°;

1-(δ-benzoylbutyl)-4-phenyl-4-carboxypiperidine pyrrolidide oxalate, m. 187-8°; 1-[γ-(4-chlorobenzoyl)propyl]-4-phenyl-4-carboxypiperidine pyrrolidide oxalate, m. 202.5-3.5°; 1-[γ-(4-chlorobenzoyl)propyl]-3α-methyl-4-(4-chlorophenyl)-4-carboxypiperidine pyrrolidide-HCl, m. 213-14°. γ-Chloro-2,4-dimethylbutyrophenone, b5 140-6°, and γ-chloro-2,5-dimethylbutyrophenone (IV), (b7 142-8°, were prepared 1-[γ-(2,5-Dimethylbenzoyl)propyl]-4-phenyl-4-carboxypiperidine pyrrolidide oxalate, m. 183.6-4°, was prepared by refluxing for 59 hrs. a mixture of 4.2 g. IV, 6 g. 4-phenyl-4-carboxypiperidine pyrrolidide, 12 g. Na2CO3, 0.1 g. KI, and 280 g. 4-methyl-2-pentanone and treating the evaporation residue with (CO2H)2 in iso-PrOH; 1- [γ-(2,4-dimethylbenzoyl)propyl]-4-phenyl-4-carboxypiperidine pyrrolidide oxalate, m. 186.5-7.5°, and corresponding 4-(4-tolyl) derivative were similarly prepared

ACCESSION NUMBER: 1962:53345 CAPLUS
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ORIGINAL REFERENCE NO.: 56:10107f-i,10108a-i,10109a-i,10110a-i
TITLE: 1-Aroylalkyl-4-arylpiperidine-4-carboxamides
INVENTOR(S): Janssen, Paul A. J.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 601228			BE	19610331
GB 931789			GB	
US 3097209		19630709	US 1960-14570	19600314 <--

PRIORITY APPLN. INFO.: BE 19610331

IT 2266-20-8P, Piperidine, 1-[1-[3-(p-fluorobenzoyl)propyl]-4-phenylisonipecotoyl]- 93990-14-8P, Piperidine, 1-(4-p-tolylisonipecotoyl)- 95703-61-0P, Piperidine, 1-(1-benzyl-4-phenylisonipecotoyl)- 95811-23-7P, Piperidine, 1-(1-benzyl-4-p-tolylisonipecotoyl)- 96977-24-1P, Piperidine, 1-(4-phenylisonipecotoyl)- 97830-80-3P, Piperidine, 1-[1-(3-benzoylpropyl)-4-phenylisonipecotoyl]- 104811-44-1P, Piperidine, 1-[1-[3-(2-thenoyl)propyl]-4-p-tolylisonipecotoyl]-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 2266-20-8 CAPLUS
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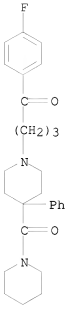

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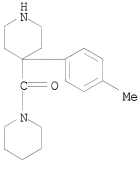

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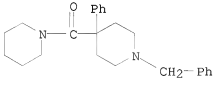

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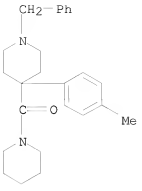

RN 96977-24-1 CAPLUS
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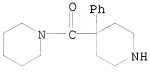

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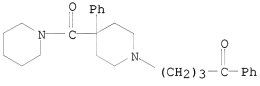
(CA INDEX NAME)



RN 104811-44-1 CAPLUS
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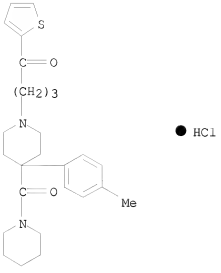

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.40	-10.40

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